Connecting via Winsock to STN

Welcome to STN International! Enter x:x

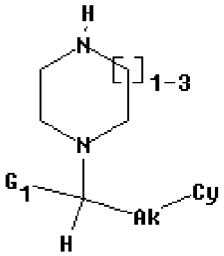
LOGINID:SSPTAEAL1624

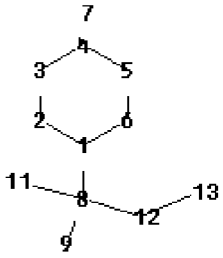
PASSWORD:
TERMINAL (ENTER 1, 2, 3, OR ?):2

* * * * * * * * * * Welcome to STN International * * * * * * * * * *

NEWS 1		Web Page for STN Seminar Schedule - N. America
NEWS 2	AUG 06	CAS REGISTRY enhanced with new experimental property tags
NEWS 3	AUG 06	FSTA enhanced with new thesaurus edition
NEWS 4	AUG 13	CA/CAplus enhanced with additional kind codes for granted patents
NEWS 5	AUG 20	CA/CAplus enhanced with CAS indexing in pre-1907 records
NEWS 6	AUG 27	Full-text patent databases enhanced with predefined patent family display formats from INPADOCDB
NEWS 7	AUG 27	USPATOLD now available on STN
NEWS 8	AUG 28	CAS REGISTRY enhanced with additional experimental spectral property data
NEWS 9	SEP 07	STN AnaVist, Version 2.0, now available with Derwent World Patents Index
NEWS 10	SEP 13	FORIS renamed to SOFIS
NEWS 11	SEP 13	INPADOCDB enhanced with monthly SDI frequency
NEWS 12	SEP 17	CA/CAplus enhanced with printed CA page images from 1967-1998
NEWS 13	SEP 17	CAplus coverage extended to include traditional medicine patents
NEWS 14	SEP 24	EMBASE, EMBAL, and LEMBASE reloaded with enhancements
NEWS 15	OCT 02	CA/CAplus enhanced with pre-1907 records from Chemisches Zentralblatt
NEWS 16	OCT 19	BEILSTEIN updated with new compounds
NEWS 17	NOV 15	Derwent Indian patent publication number format enhanced
NEWS 18	NOV 19	WPIX enhanced with XML display format
NEWS 19	NOV 30	ICSD reloaded with enhancements
NEWS 20	DEC 04	LINPADOCDB now available on STN
NEWS 21	DEC 14	BEILSTEIN pricing structure to change
NEWS 22	DEC 17	USPATOLD added to additional database clusters
NEWS 23	DEC 17	IMSDRUGCONF removed from database clusters and STN
NEWS 24	DEC 17	DGENE now includes more than 10 million sequences
NEWS 25	DEC 17	TOXCENTER enhanced with 2008 MeSH vocabulary in MEDLINE segment
NEWS 26	DEC 17	MEDLINE and LMEDLINE updated with 2008 MeSH vocabulary
NEWS 27	DEC 17	CA/CAplus enhanced with new custom IPC display formats
NEWS 28	DEC 17	STN Viewer enhanced with full-text patent content from USPATOLD
NEWS 29	JAN 02	STN pricing information for 2008 now available
NEWS 30	JAN 16	CAS patent coverage enhanced to include exemplified prophetic substances
NEWS 31	JAN 28	USPATFULL, USPAT2, and USPATOLD enhanced with new custom IPC display formats
NEWS 32	JAN 28	MARPAT searching enhanced

```
 NEWS 33  JAN 28  USGENE now provides USPTO sequence data within 3 days
                  of publication
 NEWS 34  JAN 28  TOXCENTER enhanced with reloaded MEDLINE segment
 NEWS 35  JAN 28  MEDLINE and LMEDLINE reloaded with enhancements

 NEWS EXPRESS  19 SEPTEMBER 2007:  CURRENT WINDOWS VERSION IS V8.2,
               CURRENT MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP),
               AND CURRENT DISCOVER FILE IS DATED 19 SEPTEMBER 2007.

 NEWS HOURS    STN Operating Hours Plus Help Desk Availability
 NEWS LOGIN    Welcome Banner and News Items
 NEWS IPC8     For general information regarding STN implementation of IPC 8

Enter NEWS followed by the item number or name to see news on that
specific topic.

  All use of STN is subject to the provisions of the STN Customer
  agreement.  Please note that this agreement limits use to scientific
  research.  Use for software development or design or implementation
  of commercial gateways or other similar uses is prohibited and may
  result in loss of user privileges and other penalties.

* * * * * * * * * * * * * * * STN  Columbus  * * * * * * * * * * * * * * *

FILE 'HOME' ENTERED AT 14:50:31 ON 30 JAN 2008

=> file reg
COST IN U.S. DOLLARS                                SINCE FILE      TOTAL
                                                         ENTRY    SESSION
FULL ESTIMATED COST                                       0.21       0.21

FILE 'REGISTRY' ENTERED AT 14:50:55 ON 30 JAN 2008
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.
COPYRIGHT (C) 2008 American Chemical Society (ACS)

Property values tagged with IC are from the ZIC/VINITI data file
provided by InfoChem.

STRUCTURE FILE UPDATES:   29 JAN 2008  HIGHEST RN 1001040-86-3
DICTIONARY FILE UPDATES:  29 JAN 2008  HIGHEST RN 1001040-86-3

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH June 29, 2007

  Please note that search-term pricing does apply when
  conducting SmartSELECT searches.

REGISTRY includes numerically searchable data for experimental and
predicted properties as well as tags indicating availability of
experimental property data in the original document.  For information
on property searching in REGISTRY, refer to:

http://www.cas.org/support/stngen/stndoc/properties.html

=>
Uploading C:\Program Files\Stnexp\Queries\10586029new.str
```





chain nodes :
7 11 12 13
ring nodes :
1 2 3 4 5 6
ring/chain nodes :
8 9
chain bonds :
1-8 4-7 8-9 8-11 8-12 12-13
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6
exact/norm bonds :
1-2 1-6 1-8 2-3 3-4 4-5 5-6 8-11 8-12 12-13
exact bonds :
4-7 8-9
isolated ring systems :
containing 1 :

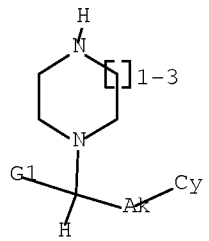
G1:Cy,Ak

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:CLASS 8:CLASS 9:CLASS 11:CLASS
12:CLASS 13:Atom

L1 STRUCTURE UPLOADED

=> d l1
L1 HAS NO ANSWERS

L1 STR



G1 Cy,Ak

Structure attributes must be viewed using STN Express query preparation.

=> s l1 full
FULL SEARCH INITIATED 14:51:23 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 1499330 TO ITERATE

66.7% PROCESSED 1000000 ITERATIONS 477 ANSWERS
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)
SEARCH TIME: 00.00.12

FULL FILE PROJECTIONS: ONLINE **INCOMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 1499330 TO 1499330
PROJECTED ANSWERS: 635 TO 795

L2 477 SEA SSS FUL L1

=> file caplus
COST IN U.S. DOLLARS SINCE FILE ENTRY TOTAL SESSION
FULL ESTIMATED COST 178.36 178.57

FILE 'CAPLUS' ENTERED AT 14:51:40 ON 30 JAN 2008

FILE COVERS 1907 - 30 Jan 2008 VOL 148 ISS 5
FILE LAST UPDATED: 29 Jan 2008 (20080129/ED)

Effective October 17, 2005, revised CAS Information Use Policies apply.
They are available for your review at:

http://www.cas.org/infopolicy.html

```
=> s l2 full
L3          66 L2

=> s l3<2005
NUMERIC EXPRESSION NOT VALID 'L3<2005'
Numeric search expressions contain an operator (=,>,<,=<,=>), a field
qualifier, and the number or a range to be searched.  Examples of
valid expressions are 'LD>6', '260-280/MW', and '10 < LD < 30'.  For a
list of field codes in the current file, enter "HELP SFIELDS" at an
arrow prompt (=>).  For more information on searching in numeric
fields, enter "HELP NUMERIC".

=> s l3 py<2005
MISSING OPERATOR L3 PY<2005
The search profile that was entered contains terms or
nested terms that are not separated by a logical operator.

=> s l3 and py<2005
      25077522 PY<2005
L4          34 L3 AND PY<2005

=> d ibib abs hitstr tot

L4   ANSWER 1 OF 34  CAPLUS  COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER:         2007:729635  CAPLUS  Full-text
DOCUMENT NUMBER:          147:72778
TITLE:                    Preparation of quinazolinone derivatives and related
                          analogs as antiproliferative agents
INVENTOR(S):              Bergnes, Gustave
PATENT ASSIGNEE(S):       Cytokinetics, Inc., USA
SOURCE:                   PCT Int. Appl., 54pp.
                          CODEN: PIXXD2
DOCUMENT TYPE:            Patent
LANGUAGE:                 English
FAMILY ACC. NUM. COUNT:   2
PATENT INFORMATION:

     PATENT NO.           KIND   DATE        APPLICATION NO.         DATE
     ---------------      ----   --------    --------------------    --------
     WO 2004018058         A2    20040304    WO 2003-US26093         20030820 <--
     WO 2004018058         A3    20040701
         W:  AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
             CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,
             GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,
             LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM,
             PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN,
             TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
         RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,
             KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES,
             FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR,
             BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
     AU 2003262747         A1    20040311    AU 2003-262747          20030820 <--
     EP 1539180            A2    20050615    EP 2003-793179          20030820
         R:  AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
             IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK
     JP 2005536553         T     20051202    JP 2004-531141          20030820
PRIORITY APPLN. INFO.:                       US 2002-404864P     P   20020821
```

WO 2003-US26093 W 20030820

OTHER SOURCE(S): MARPAT 147:72778

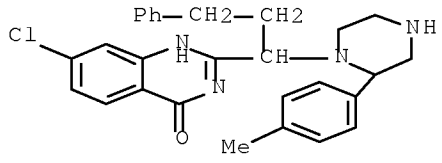
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

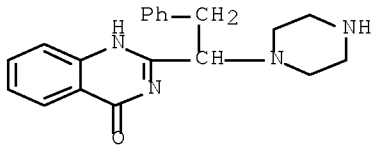
AB Title compds. I [R1-4 independently = H, OH, (un)substituted alkyl, etc.; R5 = H, (un)substituted alkyl, aryl, or aralkyl; R6 and R9 independently = H, (un)substituted alkyl, aryl, etc.; R7 = (un)substituted alkyl, aryl or aralkyl; R8 = H, (un)substituted alkyl, aryl or aralkyl; n = 1 or 2], and their pharmaceutically acceptable salts, are prepared and disclosed as antiproliferative agents by modulation of KSP (a mitotic kinesin) activity. Thus, e.g., II was prepared by substitution of 3-benzyl-2-(1-bromopropyl)-7-chloro-3H-quinazolin-4-one with 3-p-tolylpiperazine-1-carboxylic acid tert-Bu ester. Bioassays are described and the compds. of the invention were stated to show activity.

IT 941712-05-6P 941712-13-6P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of quinazolone derivs. and related analogs as antiproliferative agents)

RN 941712-05-6 CAPLUS
CN 4(3H)-Quinazolinone, 7-chloro-2-[1-[2-(4-methylphenyl)-1-piperazinyl]-3-phenylpropyl]- (CA INDEX NAME)



RN 941712-13-6 CAPLUS
CN 4(3H)-Quinazolinone, 2-[2-phenyl-1-(1-piperazinyl)ethyl]- (CA INDEX NAME)



L4 ANSWER 2 OF 34 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2004:565229 CAPLUS Full-text
DOCUMENT NUMBER: 141:123656
TITLE: A preparation of piperazine derivatives, useful as ligands of melanocortin receptors

INVENTOR(S): Chen, Chen; Tucci, Fabio C.; Tran, Joe Anh; Chen, Wei-chuan; White, Nicole
PATENT ASSIGNEE(S): Neurocrine Biosciences, Inc., USA
SOURCE: PCT Int. Appl., 79 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004058735	A2	20040715	WO 2003-US40931	20031219 <--
WO 2004058735	A3	20071227		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, AP, EA, EP, OA				
AU 2003297467	A1	20040722	AU 2003-297467	20031219 <--
US 2004192676	A1	20040930	US 2003-742592	20031219 <--

PRIORITY APPLN. INFO.: US 2002-435922P P 20021220
WO 2003-US40931 W 20031219

OTHER SOURCE(S): MARPAT 141:123656
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

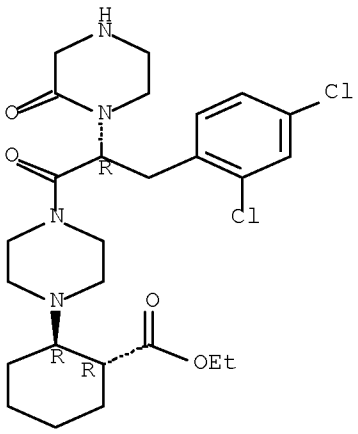
AB The invention relates to a preparation of piperazine derivs. of formula I [wherein: A and B independently are (CH2)0-2; C is (CH2)1-2; X is a direct bond or O, S, S(O), or SO2; Y is (un)substituted -alkyl-(hetero)aryl; R1, R2, and R3 are independently selected from H or alkyl, or R1 and R2 taken together are oxo; R4 is (R6)0-2; R5 is (un)substituted alkyl; R6 is, at each occurrence, independently (un)substituted alkyl, OH, or halogen], useful as melanocortin receptor ligands and having utility in the treatment of melanocortin receptor-based disorders (no biol. data). For instance, compound II was prepared via reduction of the obtained intermediate III (R = CO2Et), amidation of phenylalanine derivative IV by the obtained amine III (R = CH2OH), and esterification of iPrC(O)Cl by the obtained alc. V (example 2).

IT 723311-57-7P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of piperazine derivs., useful as ligands (antagonists or agonists) of melanocortin receptors)

RN 723311-57-7 CAPLUS

CN Cyclohexanecarboxylic acid, 2-[4-[(2R)-3-(2,4-dichlorophenyl)-1-oxo-2-(2-oxo-1-piperazinyl)propyl]-1-piperazinyl]-, ethyl ester, (1R,2R)-rel- (CA INDEX NAME)

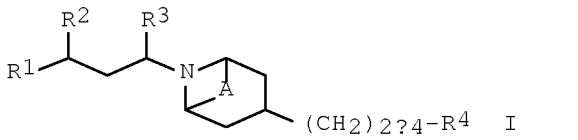
Relative stereochemistry.



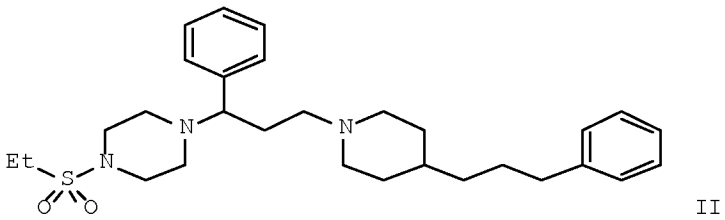
L4 ANSWER 3 OF 34 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2004:550952 CAPLUS Full-text
DOCUMENT NUMBER: 141:106382
TITLE: A preparation of novel piperidine derivatives as modulators of chemokine receptor CCR5
INVENTOR(S): Tucker, Howard
PATENT ASSIGNEE(S): Astrazeneca AB, Swed.
SOURCE: PCT Int. Appl., 44 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004056809	A1	20040708	WO 2003-SE2006	20031218 <--
WO 2004056809	A8	20050317		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2003288854	A1	20040714	AU 2003-288854	20031218 <--
EP 1572684	A1	20050914	EP 2003-781233	20031218
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
JP 2006512365	T	20060413	JP 2004-562207	20031218
US 2006052413	A1	20060309	US 2005-539106	20050615
PRIORITY APPLN. INFO.:			SE 2002-3828 A	20021220
			WO 2003-SE2006 W	20031218

OTHER SOURCE(S): MARPAT 141:106382
GI



I



II

AB The invention relates to a preparation of novel piperidine derivs. of formula I [wherein: A is absent or (CH2)2; R1 is alkyl, C(O)NH-alkyl, or CO2-alkyl, etc.; R2 is alkyl, Ph, heteroaryl, or cycloalkyl; R3 is H or alkyl; R4 is (hetero)aryl], useful as modulators of chemokine receptor CCR5. The invention compds. are claimed to be useful for the treatment of CCR5-mediated diseases such as autoimmune, inflammatory, or proliferative diseases. The ability of the invention compds. to inhibit the binding of RANTES and MIP-1α was assessed (certain compds. of formula I have IC50 < 50 μM). For instance, Pic50 (neg. log of the IC50 result) for piperidine derivative II was determined as 7.01 (table II, MIP-1α binding inhibition).

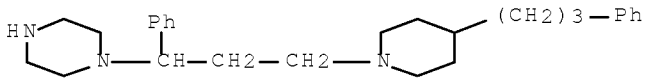
IT 718636-24-9P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(intermediate; preparation of novel piperidine derivs. as modulators of chemokine receptor CCR5)

RN 718636-24-9 CAPLUS

CN Piperazine, 1-[1-phenyl-3-[4-(3-phenylpropyl)-1-piperidinyl]propyl]- (CA INDEX NAME)



REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 4 OF 34 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2004:370912 CAPLUS Full-text

DOCUMENT NUMBER: 140:407110

TITLE: Preparation of piperazine amino acid derivatives and related compounds as melanocortin receptor ligands

INVENTOR(S): Ebetino, Frank Hallock; Tian, Xinrong; Mazur, Wieslaw Adam; Colson, Anny-Odile

PATENT ASSIGNEE(S): The Procter & Gamble Company, USA; Procter & Gamble

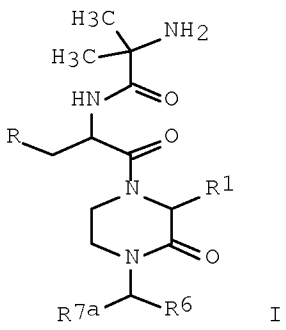
SOURCE: PCT Int. Appl., 265 pp.

CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

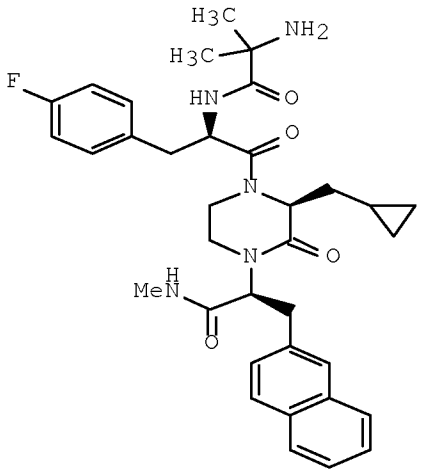
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004037797	A2	20040506	WO 2003-US33402	20031022 <--
WO 2004037797	A3	20041104		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2005010031	A1	20050113	US 2003-689022	20031020
US 7132539	B2	20061107		
CA 2501231	A1	20040506	CA 2003-2501231	20031022 <--
AU 2003286557	A1	20040513	AU 2003-286557	20031022 <--
EP 1556361	A2	20050727	EP 2003-777759	20031022
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
BR 2003015614	A	20050830	BR 2003-15614	20031022
CN 1703221	A	20051130	CN 2003-80100934	20031022
JP 2006506384	T	20060223	JP 2004-546990	20031022
ZA 2005002944	A	20060222	ZA 2005-2944	20050412
MX 2005PA04378	A	20050705	MX 2005-PA4378	20050425
NO 2005002476	A	20050523	NO 2005-2476	20050523
US 2006247224	A1	20061102	US 2006-473972	20060623

PRIORITY APPLN. INFO.:	US 2002-420578P	P	20021023
	US 2003-689022	A3	20031020
	WO 2003-US33402	W	20031022

OTHER SOURCE(S): MARPAT 140:407110
GI



I



II

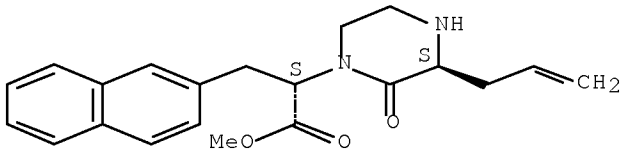
AB The invention relates to compds. which comprise a nitrogen-containing ring scaffold, e.g., 2-keto-3-alkylpiperazines I [R is Ph, 3- or 4-fluoro-, 3,5-difluoro- or 4-chlorophenyl; R1 is Me, Et, Pr, iso-Pr, Bu, iso-Bu, sec-Bu, tert-Bu, cyclopropyl, cyclopropylmethyl, cyclopentyl, cyclopentylmethyl, cyclohexyl, cyclohexylmethyl, benzyl, allyl, 1- or 2-methylallyl, but-2-enyl or propargyl; R7a is H, CO2H, CONH2, CONHMe, and -CONMe2, etc.; R8 is (un)substituted benzyl or naphthalen-2-ylmethyl], which are melanocortin receptor ligands. Thus, piperazinone derivative II was prepared via sequential peptide couplings in solution; the piperazine ring was formed by cyclocondensation of the allylglycinamide moiety with 1,2-dibromoethane (K2CO3/DMF at 65° for 12 h).

IT 686336-92-5P 686337-02-0P 686338-03-4P
686339-73-1P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of piperazine amino acid derivs. and related compds. as melanocortin receptor ligands)

RN 686336-92-5 CAPLUS

CN 1-Piperazineacetic acid, α-(2-naphthalenylmethyl)-2-oxo-3-(2-propenyl)-, methyl ester, (αS,3S)- (9CI) (CA INDEX NAME)

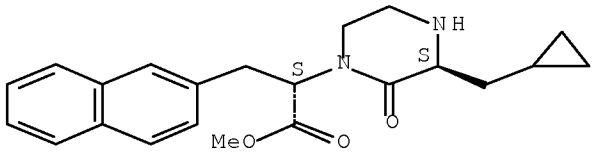
Absolute stereochemistry.



RN 686337-02-0 CAPLUS

CN 1-Piperazineacetic acid, 3-(cyclopropylmethyl)-α-(2-naphthalenylmethyl)-2-oxo-, methyl ester, (αS,3S)- (CA INDEX NAME)

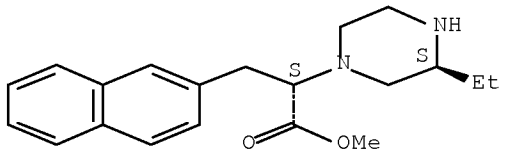
Absolute stereochemistry.



RN 686338-03-4 CAPLUS

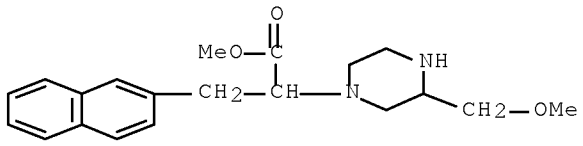
CN 1-Piperazineacetic acid, 3-ethyl-α-(2-naphthalenylmethyl)-, methyl ester, (αS,3S)- (CA INDEX NAME)

Absolute stereochemistry.



RN 686339-73-1 CAPLUS

CN 1-Piperazineacetic acid, 3-(methoxymethyl)-α-(2-naphthalenylmethyl)-, methyl ester (CA INDEX NAME)



L4 ANSWER 5 OF 34 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2004:354920 CAPLUS Full-text

DOCUMENT NUMBER: 140:375171

TITLE: Preparation of benzimidazoles as vanilloid receptor ligands

INVENTOR(S): Balan, Chenera; Bo, Yunxin; Dominguez, Celia; Fotsch, Christopher H.; Gore, Vijay K.; Ma, Vu Van; Norman, Mark H.; Ognyanov, Vassil I.; Qian, Yi-xin; Wang, Xianghong; Xi, Ning; Xu, Shimin

PATENT ASSIGNEE(S): Amgen Inc., USA

SOURCE: PCT Int. Appl., 259 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

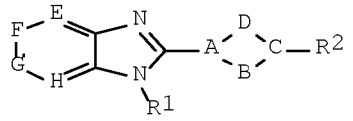
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

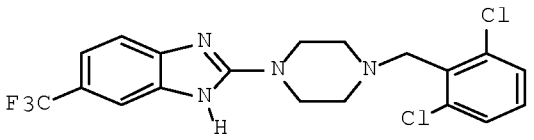
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004035549	A1	20040429	WO 2003-US32823	20031016 <--
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2501539	A1	20040429	CA 2003-2501539	20031016 <--
AU 2003301436	A1	20040504	AU 2003-301436	20031016 <--
US 2004152690	A1	20040805	US 2003-688246	20031016 <--
EP 1551811	A1	20050713	EP 2003-809075	20031016
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,				

IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK
JP 2006505570 T 20060216 JP 2004-545382 20031016
MX 2005PA03948 A 20050617 MX 2005-PA3948 20050413
PRIORITY APPLN. INFO.: US 2002-419791P P 20021017
WO 2003-US32823 W 20031016
OTHER SOURCE(S): MARPAT 140:375171
GI

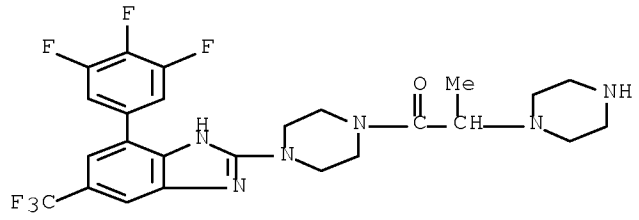


I



II

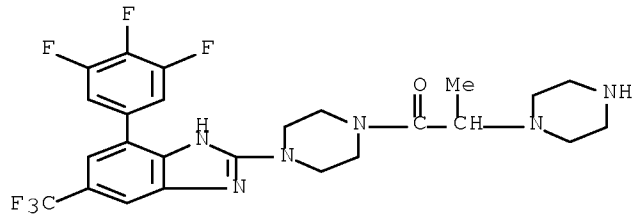
AB Title compds. I [wherein B, D = independently substituted un/partially/saturated C1-C3 chain, with provisos; A, C = independently N, CH and derivs. with at least one of A and C is N; E, F, G, H = independently N, CH and derivs.; R1 = H, (CH2)mR3 and derivs.; m = 0,1 or 2; R3 = independently (un)substituted un/partially/saturated 5, 6, or 7-membered monocyclic, or 6-, 7-, 8-, 9-, 10- or 11-membered bicyclic ring containing 0-4 heteroatoms selected from N, O, and S] were prepared as vanilloid receptor ligands (no data). For example, II was prepared by alkylation of piperazine with 2-chloro-6-trifluoromethyl-1H-benzimidazole(preparation given) in DMSO and reaction with 2,6-dichlorobenzyl bromide in DMF. Tests for capsaicin agonist and antagonist properties at vanilloid receptor type 1 are given (no data). I are useful in the treatment of vanilloid-receptor-mediated diseases, such as inflammatory or neuropathic pain and diseases involving sensory nerve function such as asthma, rheumatoid arthritis, osteoarthritis, inflammatory bowel disorders, urinary incontinence, migraine and psoriasis (no data).
IT 683242-33-3P, 2-[4-[2-(Piperazin-1-yl)propanoyl]piperazin-1-yl]-6-(trifluoromethyl)-4-(3,4,5-trifluorophenyl)-1H-benzimidazole
683242-34-4P, 2-(Piperazin-1-yl)-1-[4-[6-trifluoromethyl-4-(3,4,5-trifluorophenyl)-1H-benzimidazol-2-yl]piperazin-1-yl]propan-1-one trifluoroacetate
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of benzimidazoles as vanilloid receptor ligands)
RN 683242-33-3 CAPLUS
CN Piperazine, 1-[1-oxo-2-(1-piperazinyl)propyl]-4-[6-(trifluoromethyl)-4-(3,4,5-trifluorophenyl)-1H-benzimidazol-2-yl]- (9CI) (CA INDEX NAME)



RN 683242-34-4 CAPLUS
CN Piperazine, 1-[1-oxo-2-(1-piperazinyl)propyl]-4-[6-(trifluoromethyl)-4-(3,4,5-trifluorophenyl)-1H-benzimidazol-2-yl]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

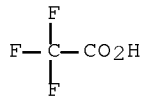
CM 1

CRN 683242-33-3
CMF C25 H26 F6 N6 O



CM 2

CRN 76-05-1
CMF C2 H F3 O2



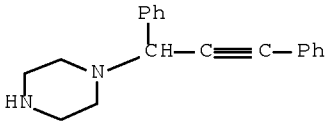
REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 6 OF 34 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2003:957382 CAPLUS Full-text
DOCUMENT NUMBER: 141:140114
TITLE: Microwave-assisted Mannich-type three-component reactions
AUTHOR(S): Leadbeater, Nicholas E.; Torenius, Hanna M.; Tye, Heather
CORPORATE SOURCE: Department of Chemistry, King's College London,

Strand, London, WC2R 2LS, UK
SOURCE: Molecular Diversity (2003), 7(2-4), 135-144
CODEN: MODIF4; ISSN: 1381-1991
PUBLISHER: Kluwer Academic Publishers
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 141:140114
AB Mannich-type three-component reactions have been performed successfully using microwave heating in conjunction with the use of ionic liqs. as heating agents. Good product yields and short reaction times have been achieved.
IT 725247-11-0P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of N-(diphenylpropynyl)piperazine via attachment of piperazine to chlorotrityl chloride resin followed by microwave-assisted Mannich reaction with chlorobenzaldehyde and phenylacetylene and resin cleavage)
RN 725247-11-0 CAPLUS
CN Piperazine, 1-(1,3-diphenyl-2-propynyl)-, bis(trifluoroacetate) (9CI) (CA INDEX NAME)

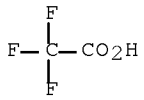
CM 1

CRN 203385-14-2
CMF C19 H20 N2



CM 2

CRN 76-05-1
CMF C2 H F3 O2



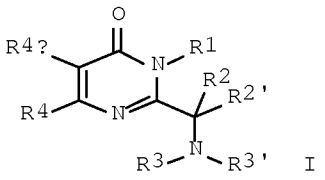
REFERENCE COUNT: 31 THERE ARE 31 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 7 OF 34 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2003:950785 CAPLUS Full-text
DOCUMENT NUMBER: 140:16735
TITLE: Preparation of pyrimidin-4(3H)-one derivatives as mitotic kinesin inhibitors for treatment of cancer
INVENTOR(S): Coleman, Paul J.; Hartman, George D.; Neilson, Lou Anne

PATENT ASSIGNEE(S): Merck & Co., Inc., USA
SOURCE: PCT Int. Appl., 178 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003099211	A2	20031204	WO 2003-US15861	20030519 <--
WO 2003099211	A3	20040226		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2483627	A1	20031204	CA 2003-2483627	20030519 <--
AU 2003231799	A1	20031212	AU 2003-231799	20030519 <--
EP 1509507	A2	20050302	EP 2003-755401	20030519
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
JP 2005530806	T	20051013	JP 2004-506738	20030519
US 2005234080	A1	20051020	US 2004-515285	20041119
PRIORITY APPLN. INFO.:			US 2002-383478P P	20020523
			WO 2003-US15861 W	20030519

OTHER SOURCE(S): MARPAT 140:16735
GI



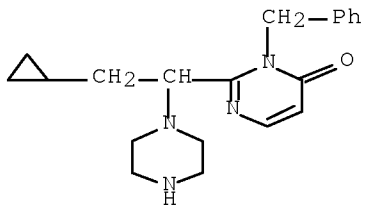
I

AB The title compds. [I; R1 = H, each (un)substituted C1-10 alkyl, aryl, C2-10 alkenyl, C2-10 alkynyl, C1-6 perfluoroalkyl, C1-6 aralkyl, C3-8 cycloalkyl, or heterocyclyl; R2, R2' = H, (CO)aObR, CO2H, C1-6 perfluoroalkyl, (un)substituted SO2NH2, SO2-C1-10 alkyl; [wherein R = each (un)substituted C1-10 alkyl, aryl, C2-C10 alkenyl, C2-10 alkynyl, cycloalkyl, heterocyclyl; a, b = 0, 1]; or R2 and R2' are combined to represent (CH2)u wherein one of the carbon atoms is optionally replaced by a moiety selected from O, S(O)m, NCO, and (un)substituted NH, and wherein the ring formed when R2 and R2' are combined is optionally substituted (wherein m = 0, 1, 2; u = 2,3, 4, 5); R3 = (CO)aObR, (un)substituted SO2NH2, SO2-C1-10 alkyl; R3' = H, (CO)aObR, C1-10 perfluoroalkyl, (un)substituted SO2NH2, SO2-C1-10 alkyl; or NR3R3' forms a 5-

12 membered nitrogen-containing heterocyclic ring; R4, R4a = H, (CO)aObR, CO2H, halo, OH, Ob-C1-6 perfluoroalkyl, (un)substituted (CO)aNH2, cyano, (un)substituted SO2NH2, SO2-C1-10 alkyl, H] are prepared These compds. are useful for treating cellular proliferative diseases, for treating disorders associated with KSP kinesin activity, and for inhibiting KSP kinesin. The invention also related to compns. which comprise these compds., and methods of using them to treat cancer in mammals. The cancer is selected from cancers of the brain, genitourinary tract, lymphatic system, stomach, larynx, and lung, in particular histiocytic lymphoma, lung adenocarcinoma, small cell lung cancers, pancreatic cancer, gioblastomas, and breast carcinoma. In a kinesin ATPase in vitro assay, the compds. I tested, e.g. N-[1-(1-Benzyl-5-bromo-4-trifluoromethyl-6-oxo-1,6-dihydropyrimidin-2- yl)propyl]-4-bromo-N-[2-(dimethylamino)ethyl]benzamide, inhibited the ATPase hydrolysis reaction with IC50≤50 μM when recombinant human KSP motor domain was incubated with microtubules prepared from tubulin isolated from bovine brain.

IT 630099-54-6P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of pyrimidin-4(3H)-one derivs. as mitotic kinesin inhibitors for treatment of cancers)

RN 630099-54-6 CAPLUS
CN 4(3H)-Pyrimidinone, 2-[2-cyclopropyl-1-(1-piperazinyl)ethyl]-3-(phenylmethyl)- (CA INDEX NAME)



L4 ANSWER 8 OF 34 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2003:913002 CAPLUS Full-text
DOCUMENT NUMBER: 139:395952
TITLE: Substituted piperazine derivatives as melanocortin receptor ligands, and their preparation, pharmaceutical compositions, and use
INVENTOR(S): Pontillo, Joseph; Marinkovic, Dragan; Lanier, Marion C.; Tran Joe Ahn; Arellano, Melissa; Parker, Jessica; Nelson, Jodie; Chen, Chen; Chen, Caroline; Jiang, Wanglong; White, Nicole; Tucci, Fabio C.
PATENT ASSIGNEE(S): Neurocrine Biosciences, Inc., USA
SOURCE: PCT Int. Appl., 153 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003094918	A1	20031120	WO 2003-US14628	20030509 <--

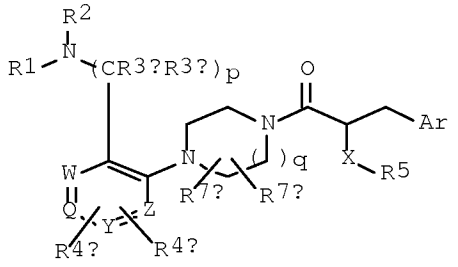
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

AU 2003230367	A1	20031111	AU 2003-230367	20030509 <--
CA 2484968	A1	20031120	CA 2003-2484968	20030509 <--
US 2004053933	A1	20040318	US 2003-434803	20030509 <--
EP 1503761	A1	20050209	EP 2003-724540	20030509
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
JP 2005534632	T	20051117	JP 2004-503003	20030509
MX 2004PA11093	A	20050214	MX 2004-PA11093	20041109

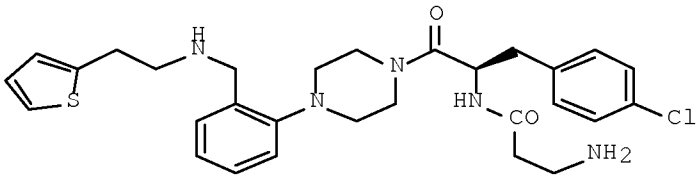
PRIORITY APPLN. INFO.: US 2002-379517P P 20020510
US 2002-422272P P 20021029
WO 2003-US14628 W 20030509

OTHER SOURCE(S): MARPAT 139:395952

GI



I



II

AB Compds. are disclosed, which function as melanocortin receptor ligands (no data), and which have utility in the treatment of melanocortin receptor-based disorders. The compds. have structure I [q = 1 or 2; p = 1-3; W, Q, Y, Z = CH or N, provided that ≤ 2 are N, and that when 2 are N, then the N atoms are not adjacent; Ar = (un)substituted Ph or naphthyl; X = bond, O, S, N(R6a), N(R6a)C(O), N(R6a)S(O)2, N(R6a)C(O)N(R6b), C(O)O, OC(O), N(R6a)C(O)N(R6b)O, N(R6a)C(O)N(R6b)N(R6c), or N(R6a)C(O)O; R1, R2, R3a, R3b = H, (un)substituted alkyl, aryl, arylalkyl, heterocyclyl, or heterocyclylalkyl; R4a and R4b = optional ring substituents selected from OH, (un)substituted alkyl, cyano, halo, alkoxy, or alkylamino; R5 = H, (un)substituted alkyl, aryl, or heterocyclyl; R6a, R6b, R6c = H, (un)substituted alkyl; R7a, R7b = optional ring substituents selected from H and (un)substituted alkyl; provided that when p = 1 then R1, R2, R3a, and R3b cannot all be H; including stereoisomers,

prodrugs, and pharmaceutically acceptable salts]. Pharmaceutical compns. containing I, as well as methods relating to their use, are also disclosed. Approx. 450 examples of compds. I and salts were prepared, as well as various intermediates. For instance, 1-Cbz-piperazine was N-arylated with 2-fluorobenzaldehyde (53%), followed by reductive amination of the aldehyde with 2-thiopheneethanamine, N-protection of the chain amino as the BOC derivative (82%, 2 steps), hydrogenolysis of CBZ (35%), peptide coupling with D-N-Fmoc-4-chlorophenylalanine using EDC, removal of Fmoc (87%, 2 steps), another peptide coupling with N-BOC-β-alanine, and removal of BOC, to give invention compound II, isolated as the trifluoroacetate salt.

IT 626217-48-9P

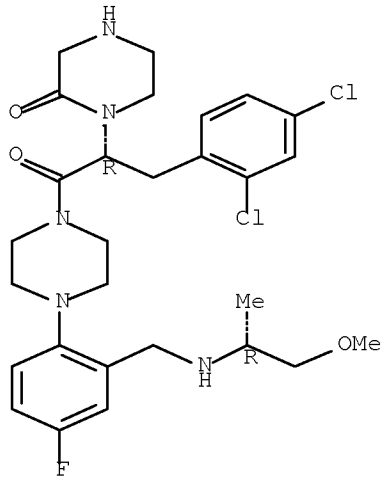
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(drug candidate; preparation of substituted piperazine derivs. as melanocortin receptor ligands)

RN 626217-48-9 CAPLUS

CN Piperazine, 1-[(2R)-3-(2,4-dichlorophenyl)-1-oxo-2-(2-oxo-1-piperazinyl)propyl]-4-[4-fluoro-2-[[[(1R)-2-methoxy-1-methylethyl]amino]methyl]phenyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT 626217-60-5P 626217-75-2P

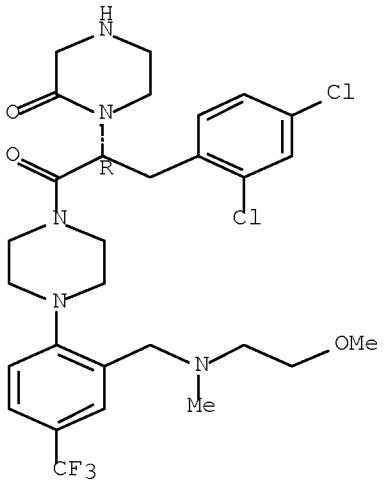
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of substituted piperazine derivs. as melanocortin receptor ligands)

RN 626217-60-5 CAPLUS

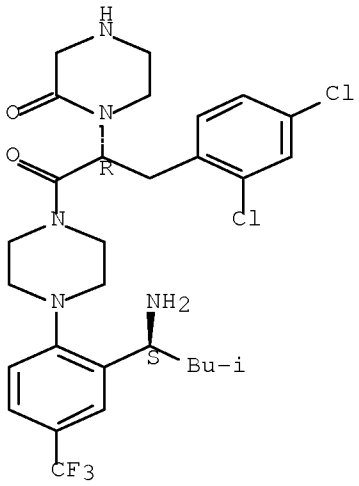
CN Piperazine, 1-[(2R)-3-(2,4-dichlorophenyl)-1-oxo-2-(2-oxo-1-piperazinyl)propyl]-4-[2-[[(2-methoxyethyl)methylamino]methyl]-4-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 626217-75-2 CAPLUS
CN 1-Propanone, 1-[4-[2-[(1S)-1-amino-3-methylbutyl]-4-(trifluoromethyl)phenyl]-1-piperazinyl]-3-(2,4-dichlorophenyl)-2-(2-oxo-1-piperazinyl)-, (2R)- (CA INDEX NAME)

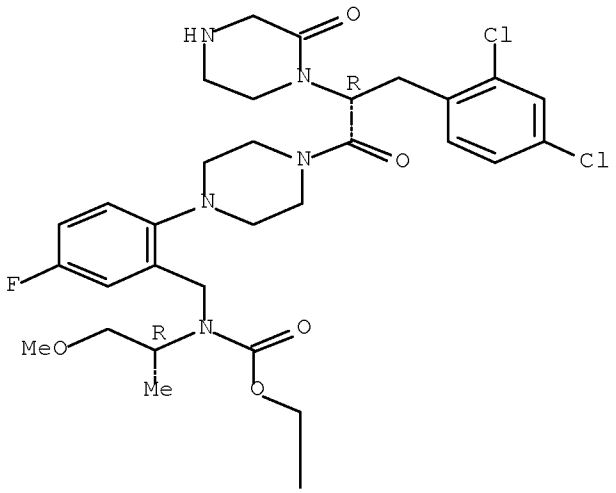
Absolute stereochemistry.



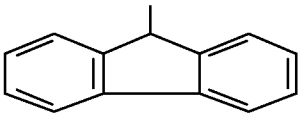
IT 626220-42-6P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; preparation of substituted piperazine derivs. as melanocortin
receptor ligands)
RN 626220-42-6 CAPLUS
CN Carbamic acid, [[2-[4-[(2R)-3-(2,4-dichlorophenyl)-1-oxo-2-(2-oxo-1-piperazinyl)propyl]-1-piperazinyl]-5-fluorophenyl]methyl][(1R)-2-methoxy-1-methylethyl]-, 9H-fluoren-9-ylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

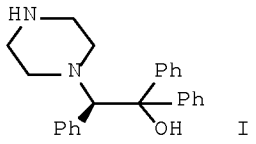


PAGE 2-A



REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 9 OF 34 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2003:832862 CAPLUS Full-text
DOCUMENT NUMBER: 139:364640
TITLE: New Silica-Immobilized Chiral Amino Alcohol for the Enantioselective Addition of Diethylzinc to Benzaldehyde
AUTHOR(S): Fraile, Jose M.; Mayoral, Jose A.; Serrano, Jorge; Pericas, Miquel A.; Sola, Lluis; Castellnou, David
CORPORATE SOURCE: Departamento de Quimica Organica, Instituto de Ciencia de Materiales de Aragon, Facultad de Ciencias, Universidad de Zaragoza-CSIC, Zaragoza, E-50009, Spain
SOURCE: Organic Letters (2003), 5(23), 4333-4335
CODEN: ORLEF7; ISSN: 1523-7060
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 139:364640
GI



I

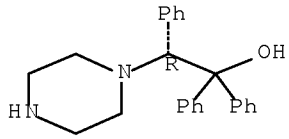
AB A readily available chiral amino alc. I has been immobilized on silica by sol-gel synthesis and grafting. The solid prepared according to the latter method led to the best enantioselectivity (77% ee) in the asym. addition of diethylzinc to benzaldehyde.

IT 620120-36-7
RL: RCT (Reactant); RACT (Reactant or reagent)
(N-alkylation/silica immobilization; preparation of silica-immobilized chiral amino alc. as catalyst for asym. addition of diethylzinc to benzaldehyde)

RN 620120-36-7 CAPLUS

CN 1-Piperazineethanol, α,α,β-triphenyl-, (βR)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



REFERENCE COUNT: 31 THERE ARE 31 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 10 OF 34 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2003:759269 CAPLUS Full-text

DOCUMENT NUMBER: 140:375185

TITLE: Preparation of aroylalkylpiperazine derivatives as neuroprotectants for cerebral ischemia

INVENTOR(S): Li, Jianqi; Huang, Liying; Min, Yang; Weng, Zhijie; Zhang, Chunnian

PATENT ASSIGNEE(S): Shanghai Institute of Pharmaceutical Industry, Peop. Rep. China

SOURCE: Faming Zhuanli Shenqing Gongkai Shuomingshu, 32 pp. CODEN: CNXXEV

DOCUMENT TYPE: Patent

LANGUAGE: Chinese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
CN 1381448	A	20021127	CN 2002-111614	20020508 <--
AU 2003236131	A1	20031111	AU 2003-236131	20030416 <--
WO 2003095437	A1	20031120	WO 2003-CN273	20030416 <--

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,

CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

EP 1553092 A1 20050713 EP 2003-720098 20030416

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK

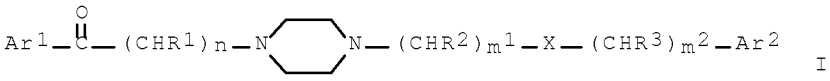
US 2005153981 A1 20050714 US 2004-513699 20041108

PRIORITY APPLN. INFO.: CN 2002-111614 A 20020508

WO 2003-CN273 W 20030416

OTHER SOURCE(S): MARPAT 140:375185

GI



I

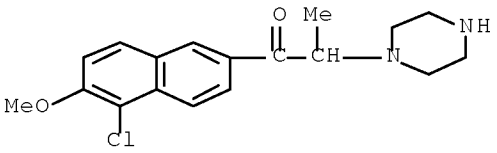
AB Title compds. I (Ar1, Ar2 = Ph, pyridyl, pyranyl, heteroaryl, etc.; R1, R2, R3 = H, C1-3 alkyl, C5- 6 cycloalkyl, Ph, substituted Ph, OH, methoxy, ethoxy, NH2, halo, carboxyl, ester group, NO2, or CH2CN; X = CHOH, CO, CONH, CH=CH, SO2, SO; n, m1, m2 = 0-3) and their salts of HCl, HBr, H2SO4, trifluoroacetic acid, or methanesulfonic acid, useful as neuroprotectants for cerebral ischemia, are synthesized by two routes from piperazine. Thus, N1-benzoylmethyl-N4-(benzylaminocarbonylmethyl)piperazine was prepared and showed neuroprotective activity against ischemia superior to that of nimodipine. Formulations containing I were given.

IT 685138-20-9

RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of aroylalkylpiperazine derivs. as neuroprotectants for cerebral ischemia)

RN 685138-20-9 CAPLUS

CN 1-Propanone, 1-(5-chloro-6-methoxy-2-naphthalenyl)-2-(1-piperazinyl)-, dihydrochloride (9CI) (CA INDEX NAME)



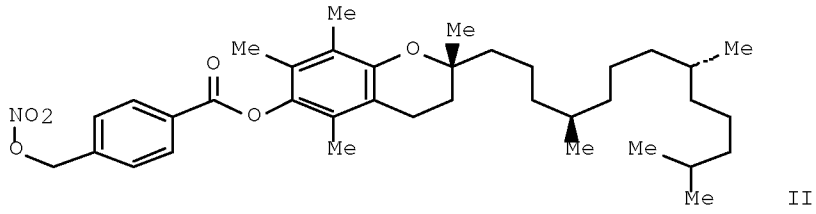
●2 HCl

L4 ANSWER 11 OF 34 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2003:652131 CAPLUS Full-text
DOCUMENT NUMBER: 139:214237
TITLE: Preparation of nitrate prodrugs able to release nitric oxide in a controlled and selective way and their use for prevention and treatment of inflammatory, ischemic and proliferative diseases
INVENTOR(S): Scaramuzzino, Giovanni
PATENT ASSIGNEE(S): Italy
SOURCE: Eur. Pat. Appl., 313 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 1336602	A1	20030820	EP 2002-425075	20020213 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
PRIORITY APPLN. INFO.:			EP 2002-425075	20020213

GI



II

AB New pharmaceutical compds. of general formula F-(X)q (I) [q = 1-5, preferably 1; F is chosen among drugs such as δ-tocopherol, clidanac, diethylhomospermine, glucosamine, thymocartin, vofopitant, etc.; X is chosen among 4 groups M, T, V, and Y where M = ONO2, nitrate salt, nitrite ester, ONO, thoinitrite, SNO, etc., T = OR1-M, OR1OR1-M, SR1NR2R1-M, NR2R1-M, NR2R1SR1-M, etc., R1 = saturated or unsatd., linear or branched alkylene, having 1 to 21 carbon atoms or a saturated or unsatd., optionally heterosubstituted or branched cycloalkylene, having 3 to 7 carbon atoms or an optionally heterosubstituted arylene having 3 to 7 carbon atoms; R2 = H, saturated or unsatd., linear or branched 1-21 carbon atom alkyl, saturated or unsatd. optionally heterosubstituted or branched 3-7 carbon cycloalkyl, optionally heterosubstituted 3-7 carbon aryl; R1, R2 = OH, SH, F, Cl, Br, OPO3H2, CO2H, etc.; bond between F and T = carboxylic ester, carboxylic amide, glycoside, azo, thioester, sulfonic ester, etc.; V = Z-M2, OZ-M2, NR2Z-M2, R1Z-M2, OR1-M2, OR1Z-M2, M2 = M, R1-M, OR1-M, SR1-M, NR2R1-M; ZM2 = COCH2CH(M2)CH2N+Me3, COCH2CH2COM2, COCH(NHR2)CH2M2, etc.; Y = 4-COC6H4CH2ONO2, O(CH2)4ONO2, COCH(NH2)CH2ONO2, 3-OC6H4CH2ONO2, etc.] were prepared For example, α-tocopherol reacted with 4-HO2CC6H4CH2ONO2 to give the nitroxymethyl derivative II. The compds. of general formula I are nitrate prodrugs which can release nitric oxide in vivo in a controlled and selective way and without hypotensive side effects and for this reason they are useful for the preparation of medicines for prevention and treatment of inflammatory, ischemic, degenerative and proliferative diseases of musculoskeletal,

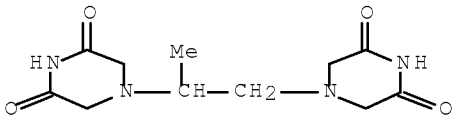
tegumental, respiratory, gastrointestinal, genito-urinary and central nervous systems.

IT 586351-08-8P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of nitrate prodrugs for treating or preventing inflammatory, ischemic, degenerative, and proliferative diseases)

RN 586351-08-8 CAPLUS
CN 2,6-Piperazinedione, 4,4'-(1-methyl-1,2-ethanediyl)bis-, nitrate (9CI) (CA INDEX NAME)

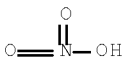
CM 1

CRN 21416-67-1
CMF C11 H16 N4 O4



CM 2

CRN 7697-37-2
CMF H N O3



REFERENCE COUNT: 19 THERE ARE 19 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 12 OF 34 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2003:585537 CAPLUS Full-text
DOCUMENT NUMBER: 139:133835
TITLE: Multifunctionalized solid support resins for synthesis of combinatorial libraries
INVENTOR(S): Campian, Eugene; Lu, Boliang; Zhang, Jinfang
PATENT ASSIGNEE(S): Advanced Syntech LLC, USA
SOURCE: U.S., 24 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6600016	B1	20030729	US 1999-379848	19990824 <--

PRIORITY APPLN. INFO.: US 1999-379848 19990824

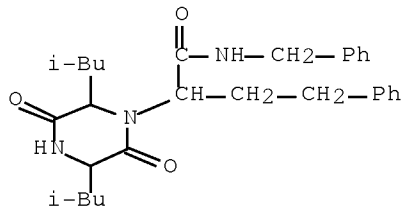
AB Multifunctionalized support resins P-X-T(L1)(L2) for the solid phase synthesis of combinatorial libraries comprise a resin backbone (P) to which is attached a template containing at least two more attachment points (T) which carry multiple functionalized benzyl-type linkers (L1, L2). Each linker displays differing chemical stability under cleavage conditions so that products can be selectively and sequentially cleaved and separated from the reaction vessel. The linkers are independently different benzyl-type moieties and each product synthesized on the linkers may have a different chemical structure. The support resin may further comprise an addnl. linker which is directly attached to the resin backbone. In example, resin P-CH2NHCOCH(NHCOC6H4CH2OH-p)(CH2)4NHCOCH2OC6H4CH2OH-p was applied to the synthesis of 4-(1-carboxy-3-methylbutyl)-3- (cyclohexylcarbamoyl)-2-(4-fluorophenyl)-1H-1,4-benzodiazepin-5(4H)-one via reactions with N-Fmoc-Leu-OH (Fmoc = fluorenylmethoxycarbonyl), 4-nitrophenylglyoxal, 2-N-Boc-aminobenzoic acid (Boc = tert-butoxycarbonyl), and cyclohexyl isocyanide.

IT 568596-44-1P

RL: SPN (Synthetic preparation); PREP (Preparation)
(multifunctionalized solid support resins for synthesis of combinatorial libraries)

RN 568596-44-1 CAPLUS

CN 1-Piperazineacetamide, 2,5-bis(2-methylpropyl)-3,6-dioxo-α-(2-phenylethyl)-N-(phenylmethyl)- (CA INDEX NAME)



REFERENCE COUNT: 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 13 OF 34 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2003:511150 CAPLUS Full-text

DOCUMENT NUMBER: 139:85377

TITLE: Preparation of substituted diketopiperazines as oxytocin antagonists

INVENTOR(S): Borthwick, Alan David; Hatley, Richard Jonathan; Hickey, Deirdre Mary Bernadette; Liddle, John; Livermore, David George Hubert; Mason, Andrew Mcmurtrie; Miller, Neil Derek; Nerozzi, Fabrizio; Sollis, Steven Leslie; Szardenings, Anna Katrin; Wyatt, Paul Graham

PATENT ASSIGNEE(S): Glaxo Group Limited, UK; et al.

SOURCE: PCT Int. Appl., 90 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

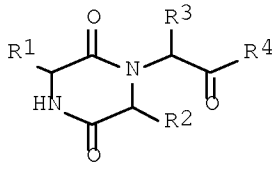
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE

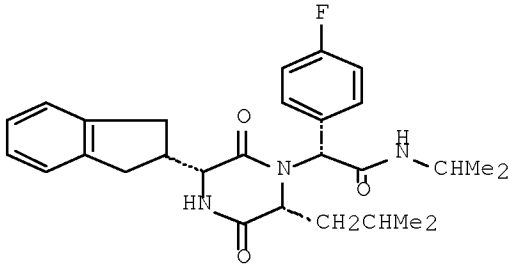
WO 2003053443	A1	20030703	WO 2002-EP14823	20021220 <--
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2471355	A1	20030703	CA 2002-2471355	20021220 <--
AU 2002364304	A1	20030709	AU 2002-364304	20021220 <--
EP 1458393	A1	20040922	EP 2002-799077	20021220 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK				
BR 2002015277	A	20041214	BR 2002-15277	20021220 <--
CN 1606443	A	20050413	CN 2002-825761	20021220
HU 2005000136	A2	20050530	HU 2005-136	20021220
JP 2005517663	T	20050616	JP 2003-554200	20021220
NZ 533218	A	20070126	NZ 2002-533218	20021220
RU 2303032	C2	20070720	RU 2004-122392	20021220
ZA 2004004326	A	20050726	ZA 2004-4326	20040602
IN 2004DN01570	A	20070316	IN 2004-DN1570	20040607
MX 2004PA06033	A	20040927	MX 2004-PA6033	20040618 <--
NO 2004003115	A	20040720	NO 2004-3115	20040720 <--
US 2005148572	A1	20050707	US 2005-499177	20050131
PRIORITY APPLN. INFO.:			GB 2001-30677 A	20011221
			WO 2002-EP14823 W	20021220

OTHER SOURCE(S): MARPAT 139:85377

GI



I



II

AB Diketopiperazines I [R1 = optionally hydroxylated alkyl, cycloalkyl, benzocycloalkyl; R2 = Alykl, alkoxyalkyl, alkylthioalkyl, dialkylaminoalkyl, cycloalkylalkyl, 5-6-membered heterocycle containing a single O, S, NMe, NEt;

R3 = (un)substituted Ph, heteroaryl, bicyclic, heterobicyclic; R4 = OH, acyloxyalkoxy, (un)substituted NH2] were prepared for treating or preventing diseases or conditions mediated through the action of oxytocin (no data). Thus, the piperazinedione II was obtained by 4-component reaction of H-D-Leu-OMe.HCl, 4-FC6H4CHO, Me2CHNC, and N-tert.-butoxycarbonyl-D-indanylglycine.

IT 554446-19-4P

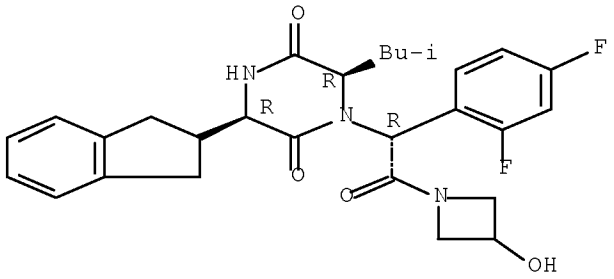
RL: RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(preparation of substituted diketopiperazines as oxytocin antagonists)

RN 554446-19-4 CAPLUS

CN 3-Azetidinol, 1-[(2R)-(2,4-difluorophenyl)[(3R,6R)-3-(2,3-dihydro-1H-inden-2-yl)-6-(2-methylpropyl)-2,5-dioxo-1-piperazinyl]acetyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT 554446-12-7P 554446-18-3P 554446-20-7P
554446-48-9P 554446-49-0P 554446-50-3P
554446-51-4P 554446-52-5P 554446-53-6P
554446-54-7P 554446-55-8P 554446-66-1P
554446-67-2P 554446-68-3P 554447-80-2P
554447-83-5P 554448-18-9P 554448-39-4P
554448-49-6P 554448-56-5P 554448-58-7P
554449-33-1P

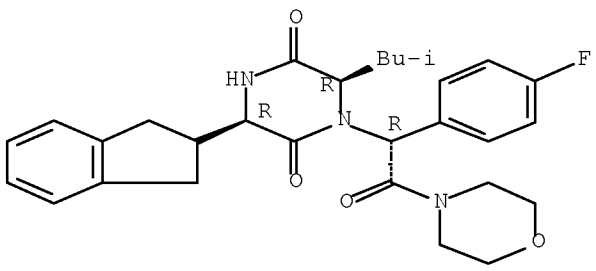
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of substituted diketopiperazines as oxytocin antagonists)

RN 554446-12-7 CAPLUS

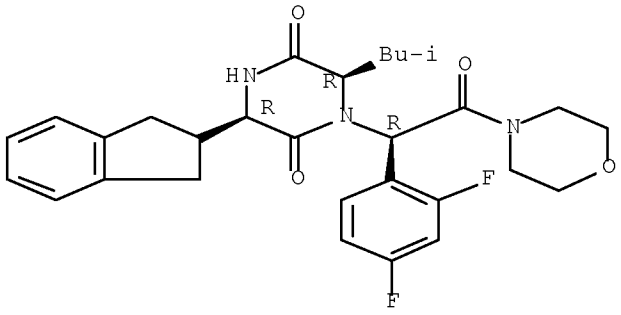
CN Morpholine, 4-[(2R)-[(3R,6R)-3-(2,3-dihydro-1H-inden-2-yl)-6-(2-methylpropyl)-2,5-dioxo-1-piperazinyl](4-fluorophenyl)acetyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



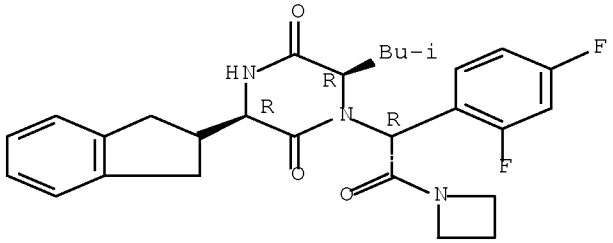
RN 554446-18-3 CAPLUS
CN Morpholine, 4-[(2R)-(2,4-difluorophenyl)[(3R,6R)-3-(2,3-dihydro-1H-inden-2-yl)-6-(2-methylpropyl)-2,5-dioxo-1-piperazinyl]acetyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



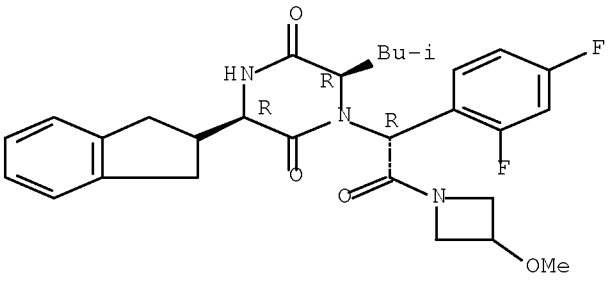
RN 554446-20-7 CAPLUS
CN Azetidine, 1-[(2R)-(2,4-difluorophenyl)[(3R,6R)-3-(2,3-dihydro-1H-inden-2-yl)-6-(2-methylpropyl)-2,5-dioxo-1-piperazinyl]acetyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



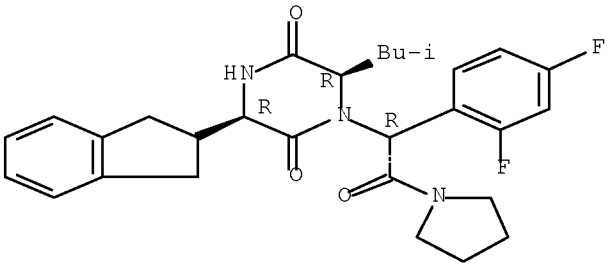
RN 554446-48-9 CAPLUS
CN Azetidine, 1-[(2R)-(2,4-difluorophenyl)[(3R,6R)-3-(2,3-dihydro-1H-inden-2-yl)-6-(2-methylpropyl)-2,5-dioxo-1-piperazinyl]acetyl]-3-methoxy- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



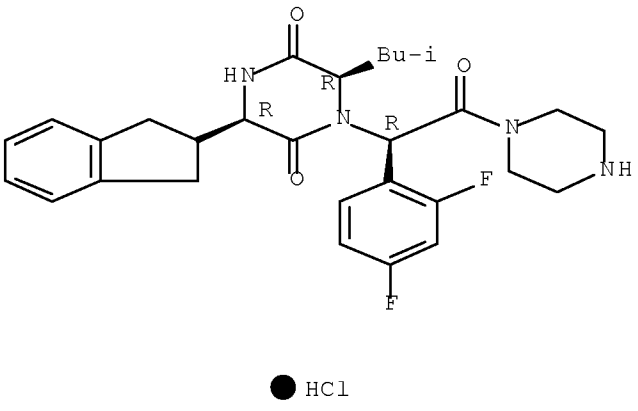
RN 554446-49-0 CAPLUS
CN Pyrrolidine, 1-[(2R)-(2,4-difluorophenyl)[(3R,6R)-3-(2,3-dihydro-1H-inden-2-yl)-6-(2-methylpropyl)-2,5-dioxo-1-piperazinyl]acetyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 554446-50-3 CAPLUS
CN Piperazine, 1-[(2R)-(2,4-difluorophenyl)[(3R,6R)-3-(2,3-dihydro-1H-inden-2-yl)-6-(2-methylpropyl)-2,5-dioxo-1-piperazinyl]acetyl]-, monohydrochloride (9CI) (CA INDEX NAME)

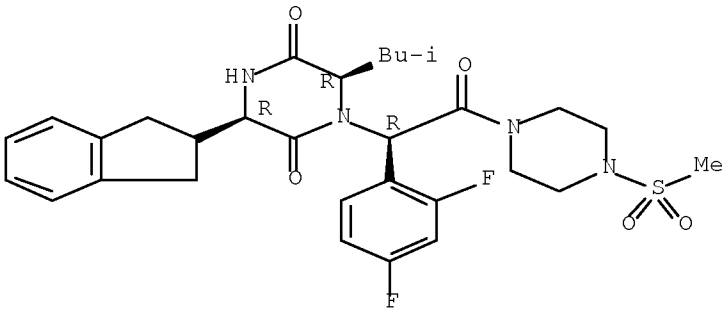
Absolute stereochemistry.



RN 554446-51-4 CAPLUS

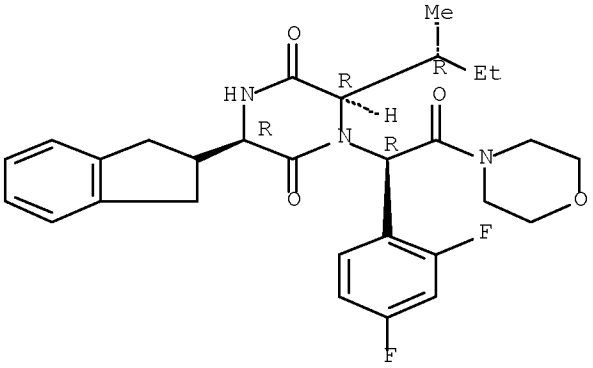
CN Piperazine, 1-[(2R)-(2,4-difluorophenyl)[(3R,6R)-3-(2,3-dihydro-1H-inden-2-yl)-6-(2-methylpropyl)-2,5-dioxo-1-piperazinyl]acetyl]-4-(methylsulfonyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



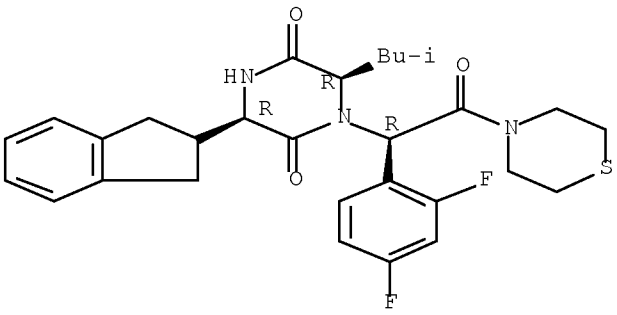
RN 554446-52-5 CAPLUS
CN Morpholine, 4-[(2R)-(2,4-difluorophenyl)[(3R,6R)-3-(2,3-dihydro-1H-inden-2-yl)-6-[(1R)-1-methylpropyl]-2,5-dioxo-1-piperazinyl]acetyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



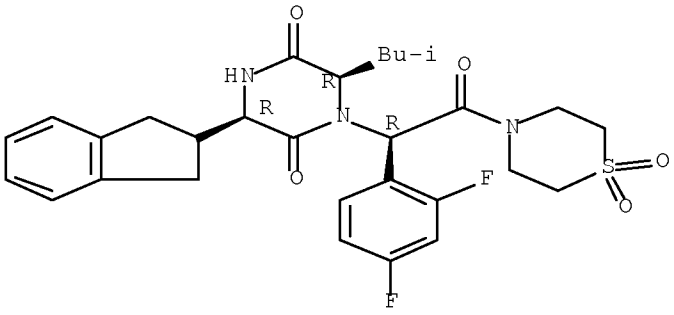
RN 554446-53-6 CAPLUS
CN Thiomorpholine, 4-[(2R)-(2,4-difluorophenyl)[(3R,6R)-3-(2,3-dihydro-1H-inden-2-yl)-6-(2-methylpropyl)-2,5-dioxo-1-piperazinyl]acetyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



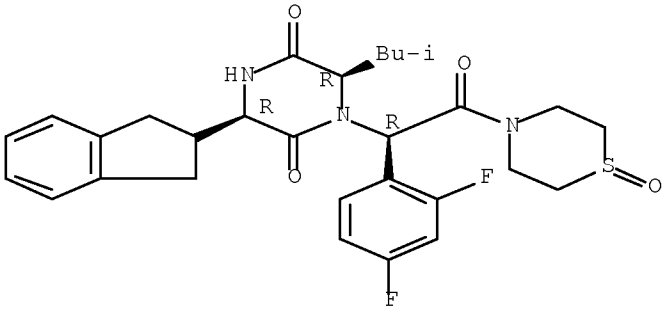
RN 554446-54-7 CAPLUS
CN Thiomorpholine, 4-[(2R)-(2,4-difluorophenyl)[(3R,6R)-3-(2,3-dihydro-1H-inden-2-yl)-6-(2-methylpropyl)-2,5-dioxo-1-piperazinyl]acetyl]-, 1,1-dioxide (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 554446-55-8 CAPLUS
CN Thiomorpholine, 4-[(2R)-(2,4-difluorophenyl)[(3R,6R)-3-(2,3-dihydro-1H-inden-2-yl)-6-(2-methylpropyl)-2,5-dioxo-1-piperazinyl]acetyl]-, 1-oxide (9CI) (CA INDEX NAME)

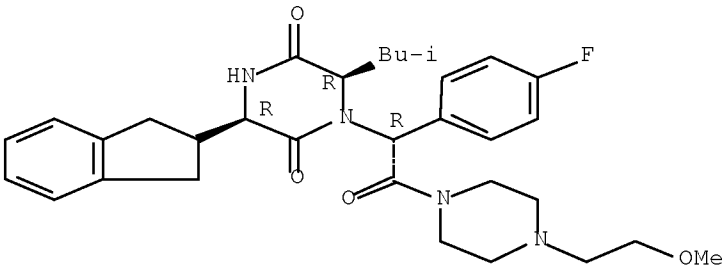
Absolute stereochemistry.



RN 554446-66-1 CAPLUS
CN Piperazine, 1-[(2R)-[(3R,6R)-3-(2,3-dihydro-1H-inden-2-yl)-6-(2-

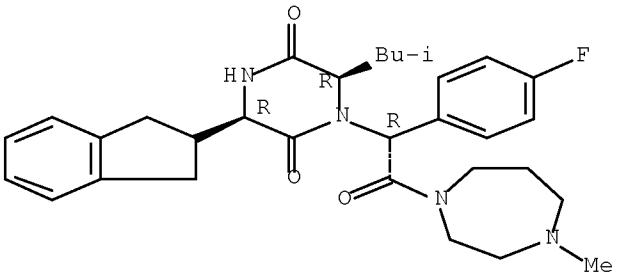
methylpropyl)-2,5-dioxo-1-piperazinyl](4-fluorophenyl)acetyl]-4-(2-methoxyethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



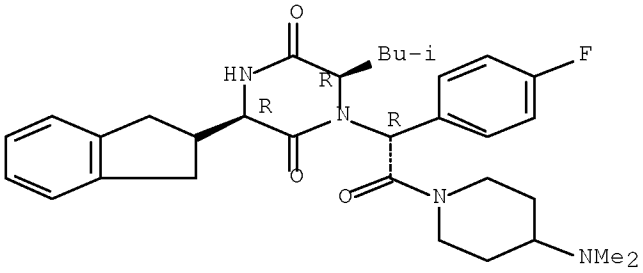
RN 554446-67-2 CAPLUS
CN 1H-1,4-Diazepine, 1-[(2R)-[(3R,6R)-3-(2,3-dihydro-1H-inden-2-yl)-6-(2-methylpropyl)-2,5-dioxo-1-piperazinyl](4-fluorophenyl)acetyl]hexahydro-4-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



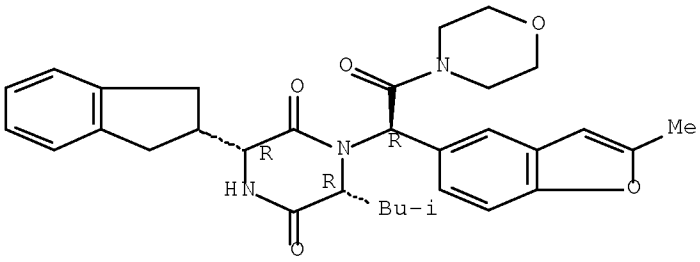
RN 554446-68-3 CAPLUS
CN 4-Piperidinamine, 1-[(2R)-[(3R,6R)-3-(2,3-dihydro-1H-inden-2-yl)-6-(2-methylpropyl)-2,5-dioxo-1-piperazinyl](4-fluorophenyl)acetyl]-N,N-dimethyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



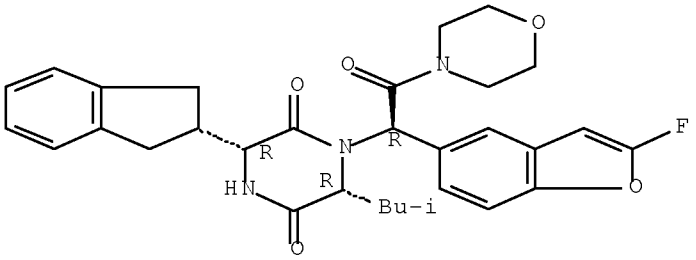
RN 554447-80-2 CAPLUS
CN Morpholine, 4-[(2R)-[(3R,6R)-3-(2,3-dihydro-1H-inden-2-yl)-6-(2-methylpropyl)-2,5-dioxo-1-piperazinyl](2-methyl-5-benzofuranyl)acetyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



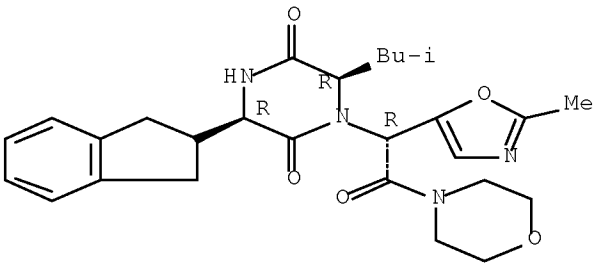
RN 554447-83-5 CAPLUS
CN Morpholine, 4-[(2R)-[(3R,6R)-3-(2,3-dihydro-1H-inden-2-yl)-6-(2-methylpropyl)-2,5-dioxo-1-piperazinyl](2-fluoro-5-benzofuranyl)acetyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



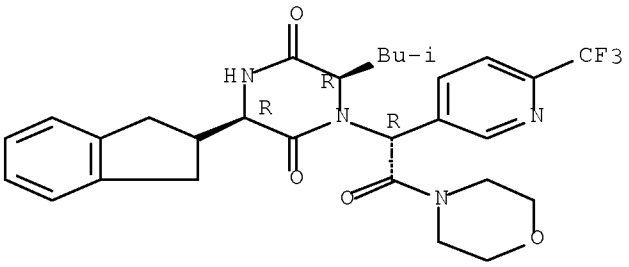
RN 554448-18-9 CAPLUS
CN Morpholine, 4-[(2R)-[(3R,6R)-3-(2,3-dihydro-1H-inden-2-yl)-6-(2-methylpropyl)-2,5-dioxo-1-piperazinyl](2-methyl-5-oxazolyl)acetyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



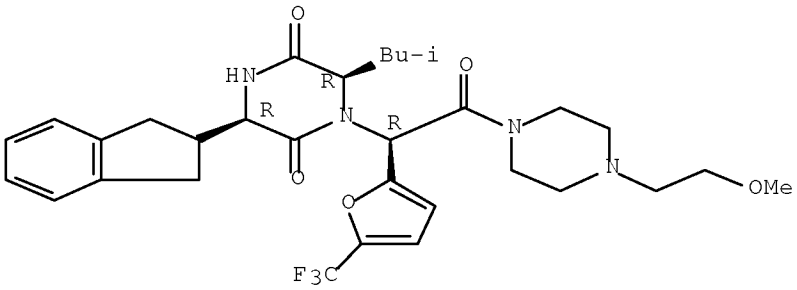
RN 554448-39-4 CAPLUS
CN Morpholine, 4-[(2R)-[(3R,6R)-3-(2,3-dihydro-1H-inden-2-yl)-6-(2-methylpropyl)-2,5-dioxo-1-piperazinyl][6-(trifluoromethyl)-3-pyridinyl]acetyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



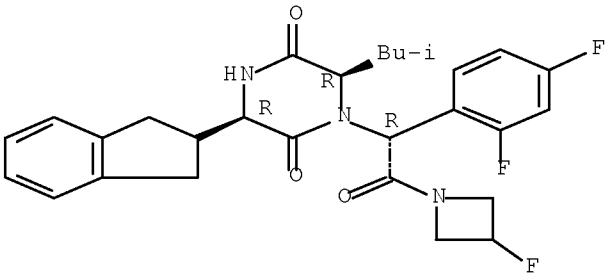
RN 554448-49-6 CAPLUS
CN Piperazine, 1-[(2R)-[(3R,6R)-3-(2,3-dihydro-1H-inden-2-yl)-6-(2-methylpropyl)-2,5-dioxo-1-piperazinyl][5-(trifluoromethyl)-2-furanyl]acetyl]-4-(2-methoxyethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 554448-56-5 CAPLUS
CN Azetidine, 1-[(2R)-(2,4-difluorophenyl)[(3R,6R)-3-(2,3-dihydro-1H-inden-2-yl)-6-(2-methylpropyl)-2,5-dioxo-1-piperazinyl]acetyl]-3-fluoro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

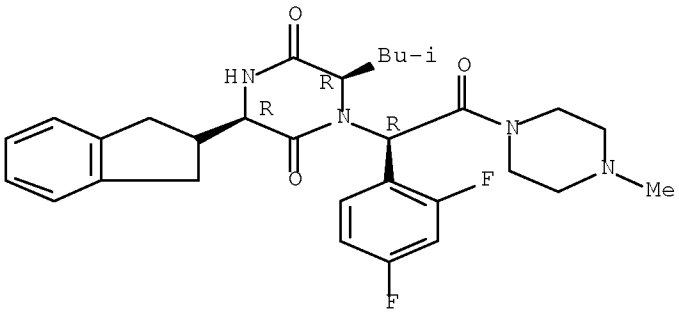


RN 554448-58-7 CAPLUS
CN Piperazine, 1-[(2R)-(2,4-difluorophenyl)[(3R,6R)-3-(2,3-dihydro-1H-inden-2-yl)-6-(2-methylpropyl)-2,5-dioxo-1-piperazinyl]acetyl]-4-methyl-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

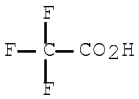
CRN 554448-57-6
CMF C30 H36 F2 N4 O3

Absolute stereochemistry.



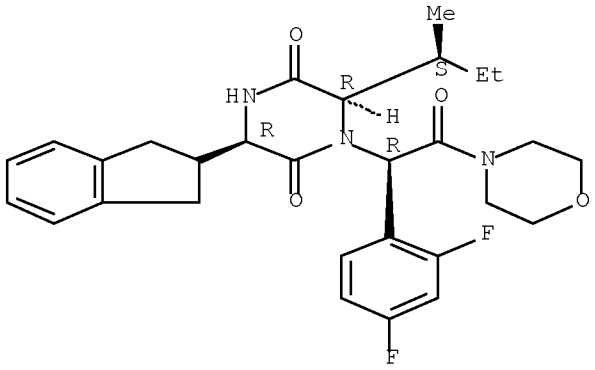
CM 2

CRN 76-05-1
CMF C2 H F3 O2



RN 554449-33-1 CAPLUS
CN Morpholine, 4-[(2R)-(2,4-difluorophenyl)[(3R,6R)-3-(2,3-dihydro-1H-inden-2-yl)-6-[(1S)-1-methylpropyl]-2,5-dioxo-1-piperazinyl]acetyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 14 OF 34 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2003:472516 CAPLUS Full-text
DOCUMENT NUMBER: 139:53031
TITLE: Preparation of furopyrimidinones as mitotic kinesin inhibitors for treatment of cancer
INVENTOR(S): Fraley, Mark E.; Hartman, George D.
PATENT ASSIGNEE(S): Merck & Co., Inc., USA
SOURCE: PCT Int. Appl., 153 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

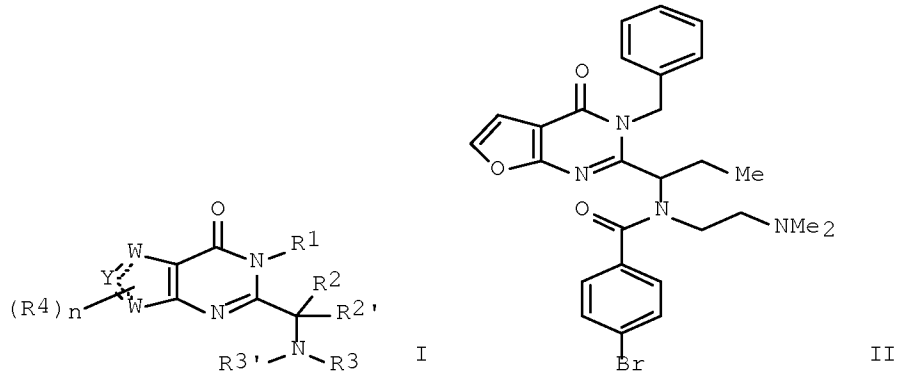
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003050122	A2	20030619	WO 2002-US38487	20021202 <--
WO 2003050122	A3	20031204		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2467726	A1	20030619	CA 2002-2467726	20021202 <--
AU 2002364128	A1	20030623	AU 2002-364128	20021202 <--
EP 1465896	A2	20041013	EP 2002-799202	20021202 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK				
JP 2005515208	T	20050526	JP 2003-551146	20021202
US 2005032817	A1	20050210	US 2004-497382	20040601
US 7244723	B2	20070717		

PRIORITY APPLN. INFO.: US 2001-338380P P 20011206

WO 2002-US38487 W 20021202

OTHER SOURCE(S): MARPAT 139:53031

GI



I II

AB Syntheses for title compds. I [wherein one of W, Y, or Z = O and the other 2 = CH; R1 = H, perfluoroalkyl, or (un)substituted (cyclo)alkyl, alkenyl, alkynyl, aryl, aralkyl, or heterocyclyl; R2, R2', R3, and R3' = independently H, CO2H, perfluoroalkyl, SO2NR7R8, or (un)substituted (CO)aOb-(cyclo)alkyl, (CO)aOb-alkenyl, (CO)aOb-alkynyl, (CO)aOb-aryl, (CO)aOb-heterocyclyl, or SO2-alkyl; or CR2R2' = (un)substituted (hetero)alkyl; or CR3R3' = (un)substituted heteroalkyl; R4 = halo, OH, CN, CO2H, perfluoroalkyl(oxy), SO2NR7R8, or (un)substituted (CO)aOb-(cyclo)alkyl, (CO)aOb-alkenyl, (CO)aOb-alkynyl, (CO)aOb-aryl, (CO)aOb-heterocyclyl, or SO2-alkyl; R7 and R8 = independently H, SO2Ra, CON(Rb)2, or (un)substituted (cyclo)alkyl, alkenyl, alkynyl, aryl, heterocyclyl, CO-Ob-(cyclo)alkyl, CO-Ob-alkenyl, CO-Ob-alkynyl, CO-Ob-aryl, or CO-Ob-heterocyclyl; or NR7R8 = (un)substituted heterocyclyl; Ra = (cyclo)alkyl or heterocyclyl; Rb = H, (cyclo)alkyl, aryl, heterocyclyl, CO2-alkyl, CO-alkyl, or SO2Ra; a and b = independently 0-1; n = 0-2; and pharmaceutically acceptable salts or stereoisomers thereof] as KSP kinesin inhibitors are given (no data). For example, a detailed synthesis for the preparation of II is outlined. The scheme involves the reaction of tert-Bu 2-furylcarbamate with CO2 and benzylamine in the presence of t-BuLi, substitution with butyryl chloride, cyclization, bromination, addition of N,N-dimethylethylenediamine, and coupling with 4-bromobenzoyl chloride. I and pharmaceutical compns. thereof are useful for treating cellular proliferative diseases associated with KSP kinesin activity, such as cancer (no data).

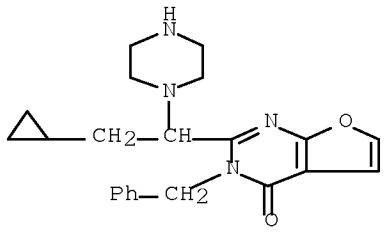
IT 545410-03-5P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(kinesin inhibitor; preparation and compns. of furopyrimidinone kinesin inhibitors for treatment of cancer)

RN 545410-03-5 CAPLUS

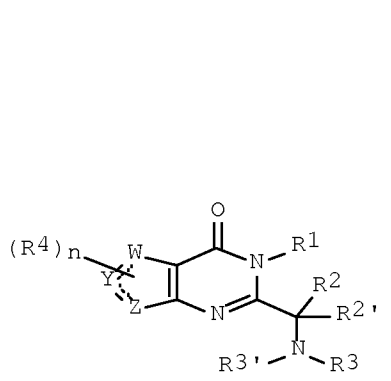
CN Furo[2,3-d]pyrimidin-4(3H)-one, 2-[2-cyclopropyl-1-(1-piperazinyl)ethyl]-3-(phenylmethyl)- (CA INDEX NAME)



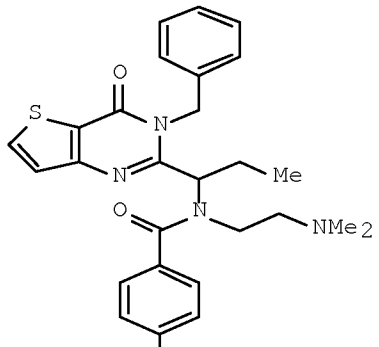
L4 ANSWER 15 OF 34 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2003:472471 CAPLUS Full-text
DOCUMENT NUMBER: 139:69276
TITLE: Preparation of thienopyrimidines as mitotic kinesin inhibitors for the treatment of cancer
INVENTOR(S): Fraley, Mark E.; Hartman, George D.; Hoffman, William F.
PATENT ASSIGNEE(S): Merck & Co., Inc., USA
SOURCE: PCT Int. Appl., 157 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003050064	A2	20030619	WO 2002-US38417	20021202 <--
WO 2003050064	A3	20031016		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2467722	A1	20030619	CA 2002-2467722	20021202 <--
AU 2002357053	A1	20030623	AU 2002-357053	20021202 <--
EP 1463733	A2	20041006	EP 2002-804714	20021202 <--
EP 1463733	B1	20070905		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK				
JP 2005516007	T	20050602	JP 2003-551092	20021202
AT 372341	T	20070915	AT 2002-804714	20021202
US 2005228003	A1	20051013	US 2004-497553	20040602

PRIORITY APPLN. INFO.: US 2001-338383P P 20011206
WO 2002-US38417 W 20021202
OTHER SOURCE(S): MARPAT 139:69276
GI



I



II

AB Title compds. I [wherein one of W, Y, or Z = S and the other 2 = CH; R1 = H, perfluoroalkyl, or (un)substituted (cyclo)alkyl, alkenyl, alkynyl, aryl, aralkyl, or heterocyclyl; R2, R2', R3, and R3' = independently H, CO2H, perfluoroalkyl, SO2NR7R8, or (un)substituted (CO)aOb-(cyclo)alkyl, (CO)aOb-alkenyl, (CO)aOb-alkynyl, (CO)aOb-aryl, (CO)aOb-heterocyclyl, or SO2-alkyl; or CR2R2' = (un)substituted (hetero)alkyl; or CR3R3' = (un)substituted heteroalkyl; R4 = halo, OH, CN, CO2H, perfluoroalkyl(oxy), SO2NR7R8, or (un)substituted (CO)aOb-(cyclo)alkyl, (CO)aOb-alkenyl, (CO)aOb-alkynyl, (CO)aOb-aryl, (CO)aOb-heterocyclyl, or SO2-alkyl; R7 and R8 = independently H, SO2Ra, CON(Rb)2, or (un)substituted (cyclo)alkyl, alkenyl, alkynyl, aryl, heterocyclyl, CO-Ob-(cyclo)alkyl, CO-Ob-alkenyl, CO-Ob-alkynyl, CO-Ob-aryl, or CO-Ob-heterocyclyl; or NR7R8 = (un)substituted heterocyclyl; Ra = (cyclo)alkyl or heterocyclyl; Rb = H, (cyclo)alkyl, aryl, heterocyclyl, CO2-alkyl, CO-alkyl, or SO2Ra; a and b = independently 0-1; n = 0-2; and pharmaceutically acceptable salts or stereoisomers thereof] were prepared for inhibiting KSP kinesin. For example, amidation of Me 3-aminothiophene-2-carboxylate with butyryl chloride afforded Me 3-(butyrylamino)thiophene-2-carboxylate, which was saponified to give the acid. Amidation with benzylamine, followed by cyclization provided 3-benzyl-2-propylthieno[3,2-d]pyrimidin-4(3H)-one. Bromination, coupling with N,N-dimethylethylenediamine, and reaction with 4-bromobenzoyl chloride gave the N-[1-(thienopyrimidinyl)propyl]benzamide II. The latter inhibited human poly-histidine tagged KSP motor domain with an IC50 value of ≤50 μM. Thus, I and pharmaceutical compns. thereof are useful for treating cellular proliferative diseases associated with KSP kinesin activity, such as cancer (no data). Preparation of thienopyrimidine kinesin inhibitors from thiophenes, amines, and acid chlorides.

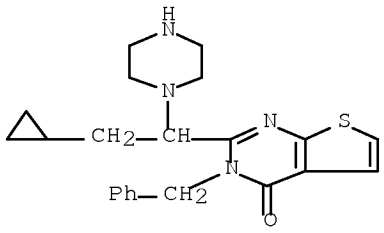
IT 545378-97-0P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(kinesin inhibitor; preparation of thienopyrimidine kinesin inhibitors from thiophenes, amines, and acid chlorides)

RN 545378-97-0 CAPLUS

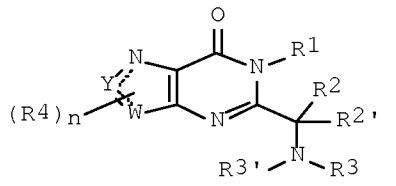
CN Thieno[2,3-d]pyrimidin-4(3H)-one, 2-[2-cyclopropyl-1-(1-piperazinyl)ethyl]-3-(phenylmethyl)- (CA INDEX NAME)



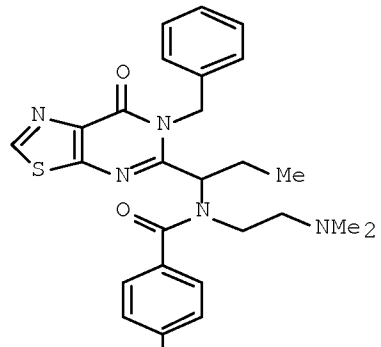
L4 ANSWER 16 OF 34 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2003:472337 CAPLUS Full-text
DOCUMENT NUMBER: 139:69275
TITLE: Preparation of thiazolopyrimidinones as mitotic kinesin inhibitors for treatment of cancer
INVENTOR(S): Fraley, Mark E.; Hartman, George D.; Hoffman, William F.
PATENT ASSIGNEE(S): Merck & Co., Inc., USA
SOURCE: PCT Int. Appl., 156 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003049679	A2	20030619	WO 2002-US38313	20021202 <--
WO 2003049679	A3	20041007		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2468156	A1	20030619	CA 2002-2468156	20021202 <--
AU 2002351183	A1	20030623	AU 2002-351183	20021202 <--
EP 1481077	A2	20041201	EP 2002-786830	20021202 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK				
JP 2005520793	T	20050714	JP 2003-550730	20021202
US 2005171122	A1	20050804	US 2004-497414	20040602
US 7262187	B2	20070828		

PRIORITY APPLN. INFO.: US 2001-338344P P 20011206
WO 2002-US38313 W 20021202
OTHER SOURCE(S): MARPAT 139:69275
GI



I



II

AB Syntheses for title azolopyrimidinone compds. I [wherein Y = CH or N; W = CH, S, or O; R1 = H, perfluoroalkyl, or (un)substituted (cyclo)alkyl, alkenyl, alkynyl, aralkyl, aryl, or heterocyclyl; R2, R2', R3, and R3' = independently H, perfluoroalkyl, CO2H, SO2NR7R8, or (un)substituted (CO)aOb-(cyclo)alkyl, (CO)aOb-alkenyl, (CO)aOb-alkynyl, (CO)aOb-heterocyclyl, or SO2-alkyl; or CR2R2' = (un)substituted (hetero)cyclyl; or NR3R3' = (un)substituted heterocyclyl; R4 = independently halo, OH, CN, perfluoroalkyl(oxy), CO2H, (CO)aNR7R8, SO2NR7R8, or (un)substituted (CO)aOb-(cyclo)alkyl, (CO)aOb-alkenyl, (CO)aOb-alkynyl,(CO)aOb-aryl, (CO)aOb-heterocyclyl, or SO2-alkyl; R7 and R8 = independently H, SO2Ra, CON(Rb)2, or (un)substituted CO-Ob-(cyclo)alkyl, CO-Ob-aryl, CO-Ob-heterocyclyl, (cyclo)alkyl, alkenyl, alkynyl, aryl, or heterocyclyl; or NR7R8 = (un)substituted heterocyclyl; Ra = (cyclo)alkyl, aryl, or heterocyclyl; Rb = H, (cyclo)alkyl, aryl, heterocyclyl, CO2-alkyl, CO-alkyl, or SO2Ra; a and b = independently 0-1; n = 0-2; and pharmaceutically acceptable salts or stereoisomers thereof] as KSP kinesin inhibitors are given (no data). For example, a detailed synthesis for the preparation of II is outlined (no data). The reaction scheme involves the cyclization of Et 5-amino-1,3-thiazole-4-carboxylate with tri-Me orthobutyrate and benzylamine to afford the [1,3]thiazolo[5,4- d]pyrimidin-7(6H)-one intermediate, followed by bromination, amination with N,N-dimethylethylenediamine, and amidation with 4-bromobenzoyl chloride. I and pharmaceutical compns. thereof are useful for treating cellular proliferative diseases associated with KSP kinesin activity, such as cancer (no data).

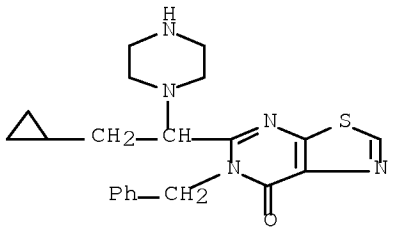
IT 545388-59-8P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(kinesin inhibitor; preparation and compns. of thiazolopyrimidine kinesin inhibitors for treatment of cancer)

RN 545388-59-8 CAPLUS

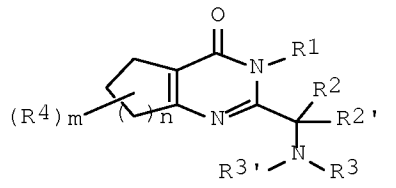
CN Thiazolo[5,4-d]pyrimidin-7(6H)-one, 5-[2-cyclopropyl-1-(1-piperazinyl)ethyl]-6-(phenylmethyl)- (CA INDEX NAME)



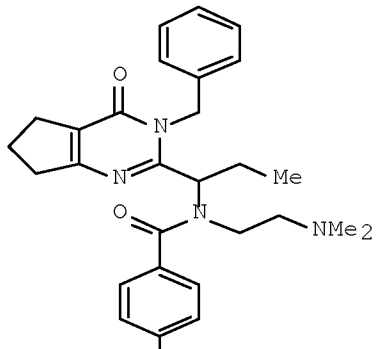
L4 ANSWER 17 OF 34 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2003:472336 CAPLUS Full-text
DOCUMENT NUMBER: 139:53029
TITLE: Preparation of cyclopenta[d]pyrimidinones as mitotic kinesin inhibitors for the treatment of cancer
INVENTOR(S): Fraley, Mark E.; Garbaccio, Robert M.
PATENT ASSIGNEE(S): Merck & Co., Inc., USA
SOURCE: PCT Int. Appl., 135 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003049678	A2	20030619	WO 2002-US38312	20021202 <--
WO 2003049678	A3	20050519		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2468266	A1	20030619	CA 2002-2468266	20021202 <--
AU 2002357043	A1	20030623	AU 2002-357043	20021202 <--
EP 1551812	A2	20050713	EP 2002-804712	20021202
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK				
JP 2005525303	T	20050825	JP 2003-550729	20021202
US 2005107404	A1	20050519	US 2004-497413	20040602
US 2006189630	A1	20060824	US 2006-410341	20060424
US 7192949	B2	20070320		

PRIORITY APPLN. INFO.: US 2001-338379P P 20011206
WO 2002-US38312 W 20021202
US 2004-497413 A1 20040602
OTHER SOURCE(S): MARPAT 139:53029
GI



I



II

AB Title compds. I [wherein one of R1 = H, perfluoroalkyl, or (un)substituted (cyclo)alkyl, alkenyl, alkynyl, aryl, aralkyl, or heterocyclyl; R2, R2', R3, and R3' = independently H, CO2H, perfluoroalkyl, SO2NR7R8, or (un)substituted (CO)aOb-(cyclo)alkyl, (CO)aOb-alkenyl, (CO)aOb-alkynyl, (CO)aOb-aryl, (CO)aOb-heterocyclyl, or SO2-alkyl; or CR2R2' = (un)substituted (hetero)alkyl; or CR3R3' = (un)substituted heteroalkyl; R4 = halo, OH, CN, CO2H, perfluoroalkyl(oxy), SO2NR7R8, or (un)substituted (CO)aOb-(cyclo)alkyl, (CO)aOb-alkenyl, (CO)aOb-alkynyl, (CO)aOb-aryl, (CO)aOb-heterocyclyl, or SO2-alkyl; R7 and R8 = independently H, SO2Ra, CON(Rb)2, or (un)substituted (cyclo)alkyl, alkenyl, alkynyl, aryl, heterocyclyl, CO-Ob-(cyclo)alkyl, CO-Ob-alkenyl, CO-Ob-alkynyl, CO-Ob-aryl, or CO-Ob-heterocyclyl; or NR7R8 = (un)substituted heterocyclyl; Ra = (cyclo)alkyl or heterocyclyl; Rb = H, (cyclo)alkyl, aryl, heterocyclyl, CO2-alkyl, CO-alkyl, or SO2Ra; a and b = independently 0-1; m = 0-3; n = 1-3; and pharmaceutically acceptable salts or stereoisomers thereof] were prepared for inhibiting KSP kinesin. For example, reaction of Et 2-aminocyclopentenecarboxylate with 1,1,1-trimethoxybutane and benzylamine gave 3-benzyl-2-propyl-3,5,6,7- tetrahydro-4H-cyclopenta[d]pyrimidin-4-one. Bromination, substitution with N,N-dimethylethylenediamine, and coupling with 4-bromobenzoyl chloride provided II. The latter inhibited human poly-histidine tagged KSP motor domain with an IC50 value of ≤50 μM. Thus, I and pharmaceutical compns. thereof are useful for treating cellular proliferative diseases associated with KSP kinesin activity, such as cancer.

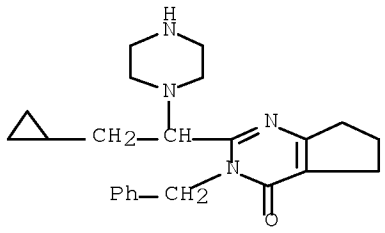
IT 545396-59-6P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(kinesin inhibitor; preparation and compns. of cyclopentapyrimidinone kinesin inhibitors for treatment of cancer)

RN 545396-59-6 CAPLUS

CN 4H-Cyclopentapyrimidin-4-one, 2-[2-cyclopropyl-1-(1-piperazinyl)ethyl]-3,5,6,7-tetrahydro-3-(phenylmethyl)- (9CI) (CA INDEX NAME)



L4 ANSWER 18 OF 34 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2003:472306 CAPLUS Full-text
DOCUMENT NUMBER: 139:47130
TITLE: Azolopyrimidinone compound mitotic kinesin inhibitors for the treatment of proliferative diseases
INVENTOR(S): Fraley, Mark E.; Hartman, George D.; Hoffman, William F.
PATENT ASSIGNEE(S): Merck & Co., Inc., USA
SOURCE: PCT Int. Appl., 153 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003049527	A2	20030619	WO 2002-US38488	20021202 <--
WO 2003049527	A3	20040304		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2467916	A1	20030619	CA 2002-2467916	20021202 <--
AU 2002363960	A1	20030623	AU 2002-363960	20021202 <--
EP 1458726	A2	20040922	EP 2002-798478	20021202 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK				
JP 2005516000	T	20050602	JP 2003-550582	20021202
US 2005176737	A1	20050811	US 2004-497385	20040601
US 7262186	B2	20070828		

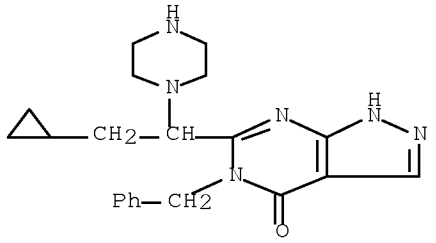
PRIORITY APPLN. INFO.: US 2001-338779P P 20011206
WO 2002-US38488 W 20021202
OTHER SOURCE(S): MARPAT 139:47130

AB The invention provides azolopyrimidinone compds. that are useful for treating cellular proliferative diseases, for treating disorders associated with KSP kinesin activity, and for inhibiting KSP kinesin. The invention also provides compns. which comprise these compds., and methods of using them to treat cancer in mammals. Preparation of N-[1-(5-benzyl-3-bromo-4-oxo- 4,5-dihydro-

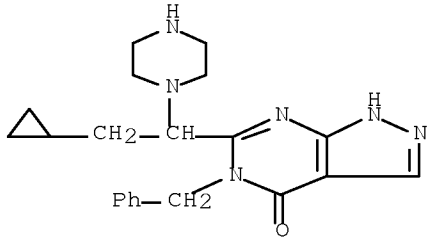
1H-pyrazolo[3,4-d]pyrimidin-6-yl)propyl]-4-bromo-N-[2-(dimethylamino)ethyl]benzamide is described.

IT 544674-42-2 544674-42-2D, stereoisomers
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(azolopyrimidinone compound mitotic kinesin inhibitors for treatment of proliferative diseases)

RN 544674-42-2 CAPLUS
CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one, 6-[2-cyclopropyl-1-(1-piperazinyl)ethyl]-1,5-dihydro-5-(phenylmethyl)- (CA INDEX NAME)



RN 544674-42-2 CAPLUS
CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one, 6-[2-cyclopropyl-1-(1-piperazinyl)ethyl]-1,5-dihydro-5-(phenylmethyl)- (CA INDEX NAME)



L4 ANSWER 19 OF 34 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2003:396878 CAPLUS Full-text
DOCUMENT NUMBER: 138:385314
TITLE: Preparation of N-piperidin-4-yl amides and ureas and their use as modulators of chemokine receptor activity (especially CCR5)
INVENTOR(S): Tucker, Howard
PATENT ASSIGNEE(S): Astrazeneca A.B., Swed.
SOURCE: PCT Int. Appl., 74 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

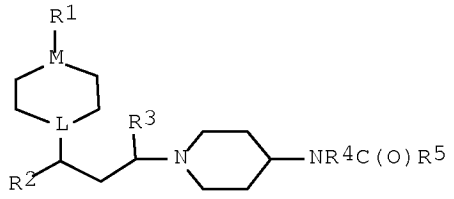
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE

WO 2003042205	A1	20030522	WO 2002-SE2055	20021112	<--
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW					
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG					
CA 2464347	A1	20030522	CA 2002-2464347	20021112	<--
AU 2002353691	A1	20030526	AU 2002-353691	20021112	<--
EP 1448548	A1	20040825	EP 2002-789054	20021112	<--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK					
BR 2002014140	A	20041019	BR 2002-14140	20021112	<--
CN 1585763	A	20050223	CN 2002-822540	20021112	
HU 2004002261	A2	20050228	HU 2004-2261	20021112	
JP 2005510522	T	20050421	JP 2003-544041	20021112	
NZ 532411	A	20051125	NZ 2002-532411	20021112	
IN 2004DN00912	A	20060804	IN 2004-DN912	20040408	
US 2004267016	A1	20041230	US 2004-495196	20040511	<--
US 7192973	B2	20070320			
MX 2004PA04503	A	20040811	MX 2004-PA4503	20040512	<--
ZA 2004003688	A	20050810	ZA 2004-3688	20040513	
NO 2004002157	A	20040811	NO 2004-2157	20040525	<--
US 2007161646	A1	20070712	US 2007-687257	20070316	

PRIORITY APPLN. INFO.:
SE 2001-3818 A 20011115
WO 2002-SE2055 W 20021112
US 2004-495196 A1 20040511

OTHER SOURCE(S): MARPAT 138:385314

GI



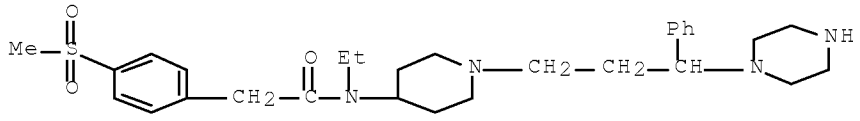
I

AB N-piperidin-4-yl amides and ureas (shown as I; variables defined below; e.g. N-[1-[3-Phenyl-3-(4-methylpiperazin-1-yl)propyl]piperidin-4-yl]-N- ethyl-4-methanesulfonylphenylacetamide), compns. comprising them, processes for preparing them and their use in medical therapy (for example modulating CCR5 receptor activity in a warm blooded animal) are described. Inhibition by 20 examples of I of binding of MIP-1α to CCR5 are tabulated. Fourteen example prepns. of I and mass spectral parent ion masses for .apprx.250 examples of I are included. For example, to a solution of 1-methylpiperazine (0.38 mmol) in CH2Cl2 (10 mL) was addedtriethylamine (0.72 mmol) then N-[1-(3-phenyl-3-chloropropyl)piperidin-4-yl]-N-ethyl-4- methanesulfonylphenylacetamide (0.38 mmol) and sodium iodide(50 mg); the resulting mixture was stirred at room temperature for 48 h then washed with water and brine, dried (MgSO4) and

evaporated; 58 mg of N-[1-[3-Phenyl-3-(4- methylpiperazin-1-yl)propyl]piperidin-4-yl]-N-ethyl-4- methanesulfonylphenylacetamide was obtained after purification For I: L is CH or N; M is CH or N; provided that L and M are not both CH. R1 is H, C1-6 alkyl ((un)substituted by (un)substituted Ph or heteroaryl), (un)substituted Ph, (un)substituted heteroaryl, S(O)2R6, S(O)2NR10R11, C(O)R7, C(O)2(C1-6 alkyl), C(O)2[phenyl(C1-2 alkyl)] or C(O)NHR7; and when M is CH, R1 can also be NHS(O)2R6, NHS(O)2NHR7, NHC(O)R7 or NHC(O)NHR7. R2 is (un)substituted Ph or heteroaryl; R3 is H or C1-4 alkyl; R4 is H, Me, Et, allyl or cyclopropyl. R5 is Ph, heteroaryl, phenylNH, heteroarylNH, phenyl(C1-2)alkyl, heteroaryl(C1-2) alkyl, phenyl(C1-2 alkyl)NH or heteroaryl(C1-2 alkyl)NH; wherein the Ph and heteroaryl rings of R5 are optionally substituted; addnl. details are given in the claims. Five example pharmaceutical formulations containing I are described.

IT 527693-70-5P, N-[1-[3-Phenyl-3-(piperazin-1-yl)propyl]piperidin-4-yl]-N-ethyl-4-methanesulfonylphenylacetamide
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(drug candidate; preparation of N-piperidinyl amides and ureas and their use
as modulators of chemokine receptor activity (especially CCR5))

RN 527693-70-5 CAPLUS
CN Benzeneacetamide, N-ethyl-4-(methylsulfonyl)-N-[1-[3-phenyl-3-(1-piperazinyl)propyl]-4-piperidinyl]- (CA INDEX NAME)



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 20 OF 34 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2003:221465 CAPLUS Full-text
DOCUMENT NUMBER: 138:255249
TITLE: Preparation of piperazine and homopiperazine compounds useful in the treatment of thrombosis and to inhibit ADP-mediated platelet aggregation
INVENTOR(S): Levy, Daniel E.; Smyth, Mark S.; Scarborough, Robert M.
PATENT ASSIGNEE(S): Millennium Pharmaceuticals, Inc., USA
SOURCE: PCT Int. Appl., 260 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

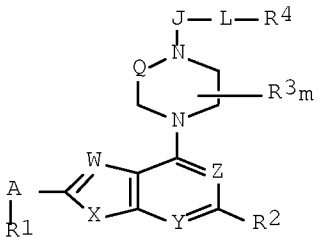
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003022214	A2	20030320	WO 2002-US28618	20020906 <--
WO 2003022214	A3	20040325		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,

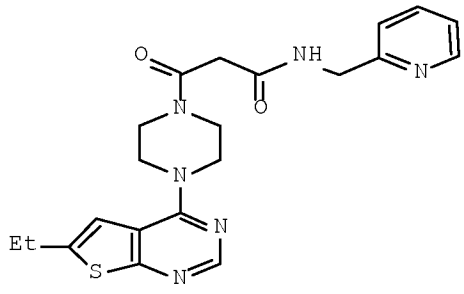
GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,
LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH,
PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ,
UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,
KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES,
FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF,
CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

AU 2002336462	A1	20030324	AU 2002-336462		20020906 <--
US 2003153556	A1	20030814	US 2002-237153		20020906 <--
US 7115741	B2	20061003			
PRIORITY APPLN. INFO.:			US 2001-317192P	P	20010906
			WO 2002-US28618	W	20020906

OTHER SOURCE(S): MARPAT 138:255249
GI



I



II

AB Piperazine and homopiperazine compds. I, wherein Q is (CH2)n; n is 1, 2; m is 0-4; W is N, CR5; X is S, O, NR6; Y is N, CR7; Z is N, CR8; J is CO, CS, CNR9, SO, SO2; A is O, S, NR10, CO, CH(OH); L is a direct link or a divalent linker; R1 is H, halo, CN, NO2, N3, alkyl, cycloalkyl, alkene, alkyne; R2 is H, halo, CN, NO2, N3, alkyl, cycloalkyl, alkene, alkyne, acyl; R3 is alkyl, cycloalkyl, acyl; R4 is H, F, CF3, CN, N3, NO2, alkyl, amino, alkylamino, cycloalkyl, heterocycloalkyl, heteroalkyl, fused bicycloalkyl, fused bicycloalkaryl, fused bicycloaryl; R5-R8 are independently H, alkyl, cycloalkyl; R9 is H, CN, NO2, alkyl; R10 is H, alkyl, acyl; are provided having a piperazine or homopiperazine ring which are useful in the treatment of thrombosis. Thus piperazine II was prepared and tested in vitro to inhibit ADP-mediated platelet aggregation (activity ranges are: > 20 μmol; 10-20 μmol; and < 10 μmol).

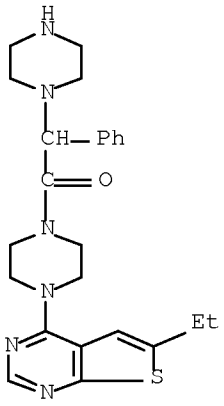
IT 502647-78-1P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of piperazine and homopiperazine compds. useful in treatment of
thrombosis and to inhibit ADP-mediated platelet aggregation)

RN 502647-78-1 CAPLUS

CN Piperazine, 1-(6-ethylthieno[2,3-d]pyrimidin-4-yl)-4-(phenyl-1-piperazinylacetyl)-, trifluoroacetate (9CI) (CA INDEX NAME)

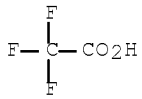
CM 1

CRN 502647-77-0
CMF C24 H30 N6 O S



CM 2

CRN 76-05-1
CMF C2 H F3 O2



L4 ANSWER 21 OF 34 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2003:173381 CAPLUS Full-text
DOCUMENT NUMBER: 138:221847
TITLE: Preparation of piperazinone compounds as antitumor and anticancer agents
INVENTOR(S): Hamilton, Andrew D.; Sebti, Said; Peng, Hairuo
PATENT ASSIGNEE(S): Yale University, USA
SOURCE: PCT Int. Appl., 90 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003017939	A2	20030306	WO 2002-US26881	20020823 <--
WO 2003017939	A3	20031113		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,

LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT,
RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US,
UZ, VN, YU, ZA, ZW
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,
KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES,
FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF,
CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

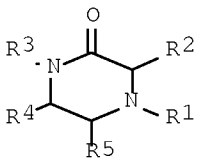
CA 2458009	A1	20030306	CA 2002-2458009	20020823 <--
AU 2002332640	A1	20030310	AU 2002-332640	20020823 <--
AU 2002332640	B2	20071108		
EP 1427418	A2	20040616	EP 2002-796419	20020823 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK				
JP 2005504771	T	20050217	JP 2003-522462	20020823
AU 2007229363	A1	20071108	AU 2007-229363	20071018

PRIORITY APPLN. INFO.:

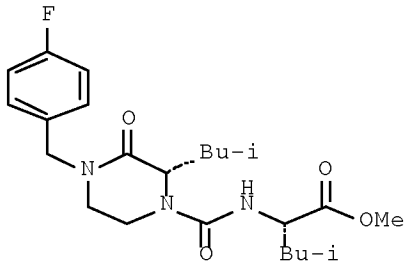
US 2001-314795P	P	20010824
AU 2002-332640	A3	20020823
WO 2002-US26881	W	20020823

OTHER SOURCE(S): MARPAT 138:221847

GI



I



II

AB The invention relates to piperazinone compds. I [R1, R3 = alk(en)yl, aryl, heterocyclyl, alk(en)ylenearyl, -heterocyclyl or -heterocyclyl, C2-C10 (thio)ethers, various acyl groups, etc.; R2, R4, R5 = H, alk(en)yl, CF3, F, Cl, Br, I, CN, NO2, NH2, aryl, heterocyclyl, etc.] and unsatd. analogs (CR4:CR5), including isomers or mixts. of isomers, pharmaceutically-acceptable salts, and pharmaceutical compns. for treating tumors and cancer and other diseases. Thus, peptide II (GGTI-2364) was prepared by a multistep procedure involving cyclization (70% TFA/H2O) of the coupling product formed from (MeO)2CHCH2NHCH2C6H4F-p and N-(benzyloxycarbonyl)-L- leucine. II showed IC50 >10,000 nM for inhibition of protein geranylgeranyltransferase I (GGTase-I) and protein farnesyltransferase (FTase).

IT 500782-70-7P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of piperazinone compds. as antitumor and anticancer agents)

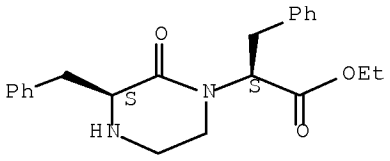
RN 500782-70-7 CAPLUS

CN 1-Piperazineacetic acid, 2-oxo-α,3-bis(phenylmethyl)-, ethyl ester, (αS,3S)-, sulfate (9CI) (CA INDEX NAME)

CM 1

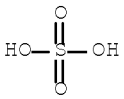
CRN 151141-67-2
CMF C22 H26 N2 O3

Absolute stereochemistry. Rotation (-).



CM 2

CRN 7664-93-9
CMF H2 O4 S



L4 ANSWER 22 OF 34 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2002:832817 CAPLUS Full-text
DOCUMENT NUMBER: 137:338139
TITLE: Preparation of pyrrolidine, piperidine, or piperazine amino acid derivatives as melanocortin receptor ligands
INVENTOR(S): Mazur, Adam Wieslaw; Tian, Xinrong; Hu, Xiufeng Eric; Ebetino, Frank Hallock
PATENT ASSIGNEE(S): The Procter & Gamble Company, USA
SOURCE: PCT Int. Appl., 143 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002085925	A2	20021031	WO 2002-US13340	20020424 <--
WO 2002085925	A3	20031211		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZM, ZW
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB,

GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

US 2003109556	A1	20030612	US 2002-121874	20020412 <--
US 6911447	B2	20050628		
CA 2444599	A1	20021031	CA 2002-2444599	20020424 <--
AU 2002254744	A1	20021105	AU 2002-254744	20020424 <--
EP 1390361	A2	20040225	EP 2002-723988	20020424 <--

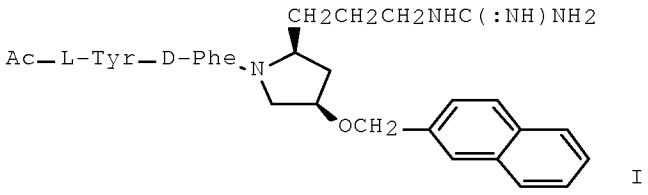
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR

CN 1543461	A	20041103	CN 2002-808930	20020424 <--
JP 2005503342	T	20050203	JP 2002-583451	20020424
BR 2002009193	A	20051025	BR 2002-9193	20020424
RU 2277535	C2	20060610	RU 2003-134019	20020424
NZ 528262	A	20070427	NZ 2002-528262	20020424
ZA 2003007439	A	20041006	ZA 2003-7439	20030925 <--
NO 2003004774	A	20031229	NO 2003-4774	20031024 <--
MX 2003PA09791	A	20040129	MX 2003-PA9791	20031024 <--
US 2004224985	A1	20041111	US 2004-856983	20040528 <--
US 7087759	B2	20060808		
US 2006106059	A1	20060518	US 2006-328330	20060109

PRIORITY APPLN. INFO.:	US 2001-286610P	P	20010425
	US 2002-121874	A3	20020412
	WO 2002-US13340	W	20020424
	US 2004-856983	A1	20040528

OTHER SOURCE(S): MARPAT 137:338139

GI



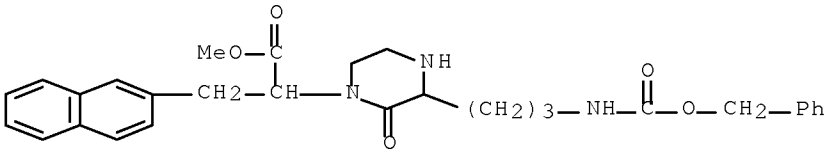
I

AB Disclosed are melanocortin (MC)-3/MC-4 receptor ligands of formula A(W)(Y)(Z), where A is a conformationally restricted ring, i.e., (non)aromatic carbocyclic or heterocyclic rings comprising 5-8 atoms, W is a unit which is preferably D-1-fluorophenyalanine, Y is pendant unit comprising at least one heteroatom, and Z is a pendant which comprises an aromatic carbocyclic ring. Also disclosed are pharmaceutical compns. comprising the ligands of the invention as well as methods of treating diseases mediated through MC-3/MC-4 receptors. Thus, compound I was prepared by a multistep procedure involving coupling reactions of 2(S)-(3-azidopropyl)-4(R)-(naphthalen-2-ylmethoxy)pyrrolidine, Boc-D-phenylalanine (Boc = tert-butoxycarbonyl), and N-acetyl-L-tyrosine.

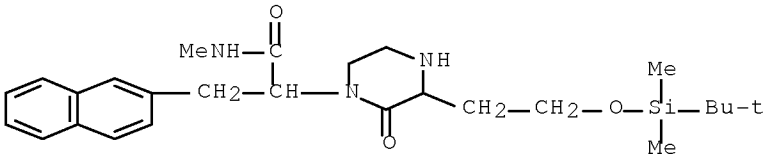
IT 474023-93-3P 474024-03-8P 474024-07-2P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of pyrrolidine, piperidine, or piperazine amino acid derivs. as
melanocortin receptor ligands)

RN 474023-93-3 CAPLUS

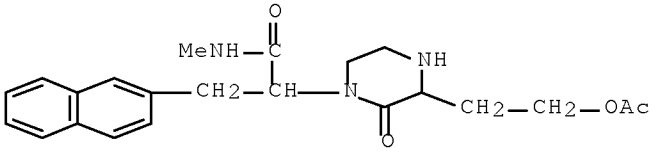
CN 1-Piperazineacetic acid, α-(2-naphthalenylmethyl)-2-oxo-3-[3-[[(phenylmethoxy)carbonyl]amino]propyl]-, methyl ester (CA INDEX NAME)



RN 474024-03-8 CAPLUS
CN 1-Piperazineacetamide, 3-[2-[[(1,1-dimethylethyl)dimethylsilyl]oxy]ethyl]-N-methyl-α-(2-naphthalenylmethyl)-2-oxo- (CA INDEX NAME)



RN 474024-07-2 CAPLUS
CN 1-Piperazineacetamide, 3-[2-(acetyloxy)ethyl]-N-methyl-α-(2-naphthalenylmethyl)-2-oxo- (CA INDEX NAME)

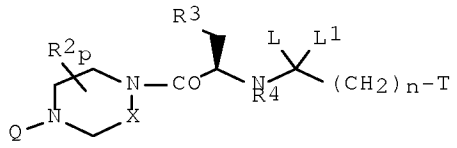


L4 ANSWER 23 OF 34 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2002:575055 CAPLUS Full-text
DOCUMENT NUMBER: 137:140775
TITLE: Preparation of piperazinyl and hexahydro-1,4-diazepinyl amino acid derivatives as melanocortin receptor agonists
INVENTOR(S): Backer, Ryan Thomas; Briner, Karin; Collado Cano, Ivan; De Frutos-Garica, Oscar; Doecke, Christopher William; Fisher, Matthew Joseph; Garcia-Paredes, Cristina; Kuklish, Steven Lee; Mancoso, Vincent; Martinelli, Michael John; Mateo Herranz, Ana Isabel; Mullaney, Jeffrey Thomas; Ornstein, Paul Leslie; Wu, Zhipei; Xie, Chaoyu
PATENT ASSIGNEE(S): Eli Lilly and Company, USA
SOURCE: PCT Int. Appl., 554 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002059095	A1	20020801	WO 2002-US518	20020123 <--
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2432988	A1	20020801	CA 2002-2432988	20020123 <--
AU 2002235324	A1	20020806	AU 2002-235324	20020123 <--
EP 1358163	A1	20031105	EP 2002-701924	20020123 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
JP 2004524297	T	20040812	JP 2002-559397	20020123 <--
US 2004116699	A1	20040617	US 2003-466250	20030711 <--
US 7169777	B2	20070130		
IN 2003KN00912	A	20050311	IN 2003-KN912	20030716
PRIORITY APPLN. INFO.:			US 2001-263380P P	20010123
			WO 2002-US518 W	20020123

OTHER SOURCE(S): CASREACT 137:140775; MARPAT 137:140775
GI



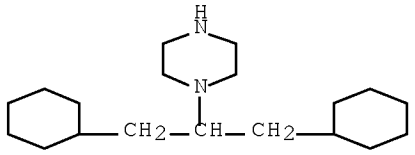
I

AB The invention relates to melanocortin receptor (MC-R) agonists I [X = CH2 or CH2CH2; LL1 = H2 or oxo; R2 = H, alkyl, alkylcarbamoyl, (D)phenyl, (D)cyclohexyl, or oxo if adjacent to N-Q; p = 0-4; R3 = (un)substituted Ph, aryl, or thienyl; R4 = H, alkyl, alkenyl, alkanoyl, or (D)phenyl; Q = various carbon-attached groups; T = isoquinolinyl or tetrahydro derivative, isoindolinyl, or piperazinyl; n = 0-8] which are useful in the treatment of obesity, diabetes, and male and/or female sexual dysfunction. Compds. I comprise three domains, i.e., a piperazinyl or hexahydro-1,4-diazepinyl fragment, an amino acid, and a radical CLL1(CH2)n-T. Thus, N-[1-(4-chlorobenzyl)-2-[4-[1-(cyclohexylmethyl)-2- morpholinoethyl]piperazin-1-yl]-2-oxoethyl]-2-(2,3-dihydro-1H-isoindol-1- yl)acetamide tris(trifluoroacetate) salt was prepared via acylation of the piperazine moiety and showed EC50 = 69.3 nM in the MC-4 agonist assay.

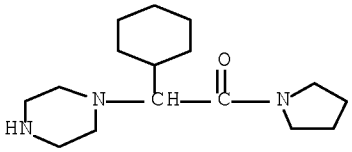
IT 444892-48-2P 444893-19-0P 444893-39-4P
444893-55-4P 444893-56-5P 444893-60-1P
444893-71-4P 444893-75-8P 444893-78-1P
444893-79-2P 444893-80-5P 444893-81-6P
444893-84-9P 444893-85-0P 444893-97-4P

444894-54-6P 444895-16-3P 444895-17-4P
444895-18-5P 444896-52-0P 444896-53-1P
444896-54-2P 444896-55-3P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of piperazinyl and hexahydrodiazepinyl amino acid derivs. as melanocortin receptor agonists)

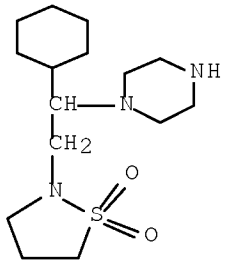
RN 444892-48-2 CAPLUS
CN Piperazine, 1-[2-cyclohexyl-1-(cyclohexylmethyl)ethyl]- (CA INDEX NAME)



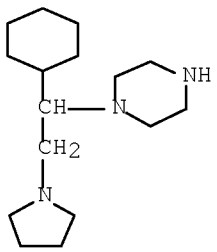
RN 444893-19-0 CAPLUS
CN Pyrrolidine, 1-(cyclohexyl-1-piperazinylacetyl)- (9CI) (CA INDEX NAME)



RN 444893-39-4 CAPLUS
CN Piperazine, 1-[1-cyclohexyl-2-(1,1-dioxido-2-isothiazolidinyl)ethyl]- (CA INDEX NAME)

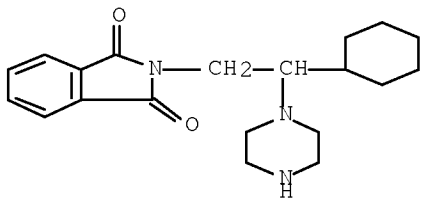


RN 444893-55-4 CAPLUS
CN Piperazine, 1-[1-cyclohexyl-2-(1-pyrrolidinyl)ethyl]-, trihydrochloride (9CI) (CA INDEX NAME)



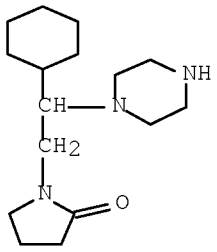
●3 HCl

RN 444893-56-5 CAPLUS
CN 1H-Isoindole-1,3(2H)-dione, 2-[2-cyclohexyl-2-(1-piperazinyl)ethyl]-, dihydrochloride (9CI) (CA INDEX NAME)



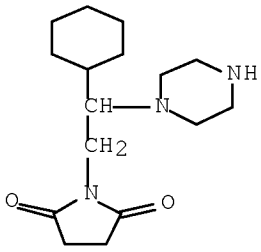
●2 HCl

RN 444893-60-1 CAPLUS
CN 2-Pyrrolidinone, 1-[2-cyclohexyl-2-(1-piperazinyl)ethyl]-, dihydrochloride (9CI) (CA INDEX NAME)



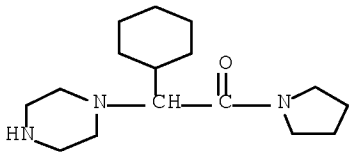
●2 HCl

RN 444893-71-4 CAPLUS
CN 2,5-Pyrrolidinedione, 1-[2-cyclohexyl-2-(1-piperazinyl)ethyl]-, dihydrochloride (9CI) (CA INDEX NAME)



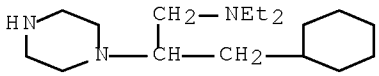
●2 HCl

RN 444893-75-8 CAPLUS
CN Pyrrolidine, 1-(cyclohexyl-1-piperazinylacetyl)-, dihydrochloride (9CI) (CA INDEX NAME)



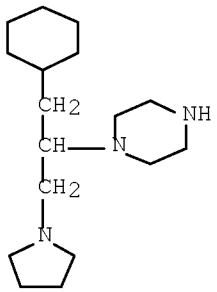
●2 HCl

RN 444893-78-1 CAPLUS
CN 1-Piperazineethanamine, β-(cyclohexylmethyl)-N,N-diethyl-, trihydrochloride (9CI) (CA INDEX NAME)



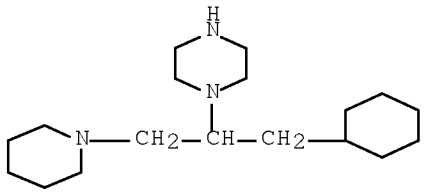
●3 HCl

RN 444893-79-2 CAPLUS
CN Piperazine, 1-[1-(cyclohexylmethyl)-2-(1-pyrrolidinyl)ethyl]-, trihydrochloride (9CI) (CA INDEX NAME)



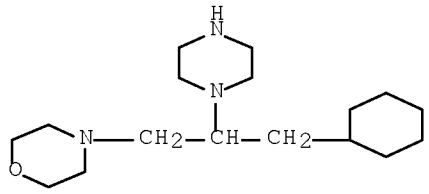
●3 HCl

RN 444893-80-5 CAPLUS
CN Piperazine, 1-[1-(cyclohexylmethyl)-2-(1-piperidinyl)ethyl]-, trihydrochloride (9CI) (CA INDEX NAME)



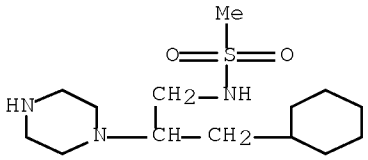
●3 HCl

RN 444893-81-6 CAPLUS
CN Morpholine, 4-[3-cyclohexyl-2-(1-piperazinyl)propyl]-, trihydrochloride (9CI) (CA INDEX NAME)



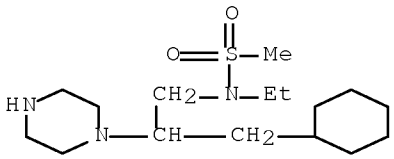
●3 HCl

RN 444893-84-9 CAPLUS
CN Methanesulfonamide, N-[3-cyclohexyl-2-(1-piperazinyl)propyl]-, dihydrochloride (9CI) (CA INDEX NAME)



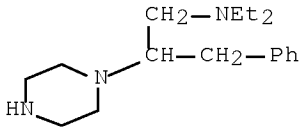
●2 HCl

RN 444893-85-0 CAPLUS
CN Methanesulfonamide, N-[3-cyclohexyl-2-(1-piperazinyl)propyl]-N-ethyl-, dihydrochloride (9CI) (CA INDEX NAME)



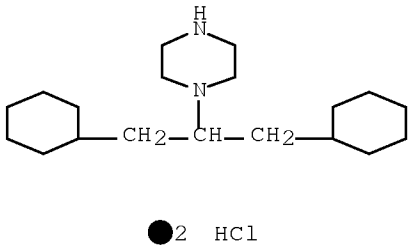
●2 HCl

RN 444893-97-4 CAPLUS
CN 1-Piperazineethanamine, N,N-diethyl-β-(phenylmethyl)-, trihydrochloride (9CI) (CA INDEX NAME)



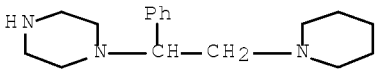
●3 HCl

RN 444894-54-6 CAPLUS
CN Piperazine, 1-[2-cyclohexyl-1-(cyclohexylmethyl)ethyl]-, dihydrochloride (9CI) (CA INDEX NAME)

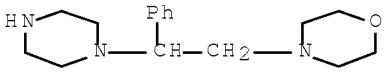


●2 HCl

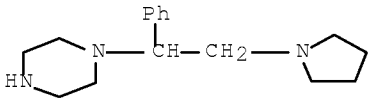
RN 444895-16-3 CAPLUS
CN Piperazine, 1-[1-phenyl-2-(1-piperidinyl)ethyl]- (CA INDEX NAME)



RN 444895-17-4 CAPLUS
CN Morpholine, 4-[2-phenyl-2-(1-piperazinyl)ethyl]- (CA INDEX NAME)

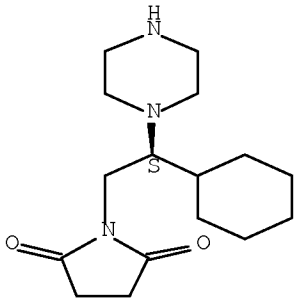


RN 444895-18-5 CAPLUS
CN Piperazine, 1-[1-phenyl-2-(1-pyrrolidinyl)ethyl]- (CA INDEX NAME)



RN 444896-52-0 CAPLUS
CN 2,5-Pyrrolidinedione, 1-[(2S)-2-cyclohexyl-2-(1-piperazinyl)ethyl]-, dihydrochloride (9CI) (CA INDEX NAME)

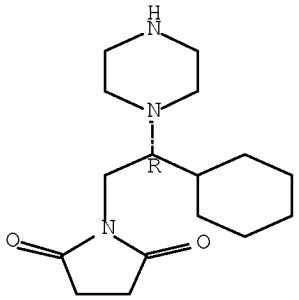
Absolute stereochemistry.



●2 HCl

RN 444896-53-1 CAPLUS
CN 2,5-Pyrrolidinedione, 1-[(2R)-2-cyclohexyl-2-(1-piperazinyl)ethyl]-, dihydrochloride (9CI) (CA INDEX NAME)

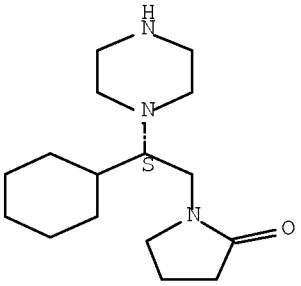
Absolute stereochemistry.



●2 HCl

RN 444896-54-2 CAPLUS
CN 2-Pyrrolidinone, 1-[(2S)-2-cyclohexyl-2-(1-piperazinyl)ethyl]-, dihydrochloride (9CI) (CA INDEX NAME)

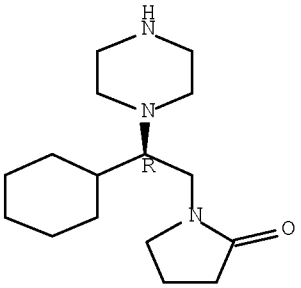
Absolute stereochemistry.



●2 HCl

RN 444896-55-3 CAPLUS
CN 2-Pyrrolidinone, 1-[(2R)-2-cyclohexyl-2-(1-piperazinyl)ethyl]-, dihydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

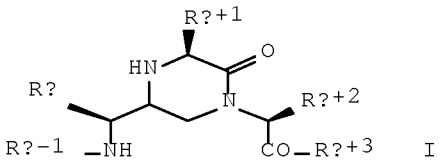


●2 HCl

REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 24 OF 34 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2002:319298 CAPLUS Full-text
DOCUMENT NUMBER: 137:47433
TITLE: 2-Oxopiperazine-Based γ-Turn Conformationally Constrained Peptides: Synthesis of CCK-4 Analogues
AUTHOR(S): Herrero, Susana; Garcia-Lopez, M. Teresa; Latorre, Miriam; Cenarruzabeitia, Edurne; Del Rio, Joaquin; Herranz, Rosario
CORPORATE SOURCE: Instituto de Quimica Medica, CSIC, Madrid, 28006, Spain
SOURCE: Journal of Organic Chemistry (2002), 67(11), 3866-3873
CODEN: JOCEAH; ISSN: 0022-3263
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 137:47433

GI



I

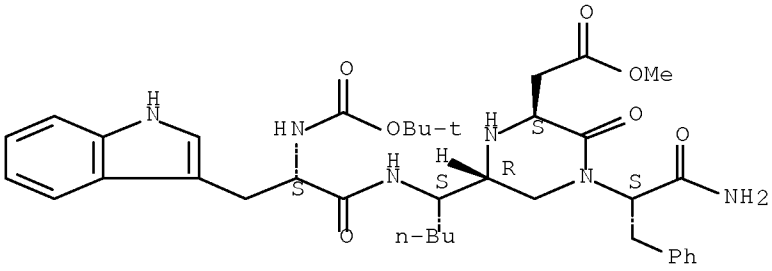
AB 2-Oxopiperazine derivs. (I) have been designed as mimetics of γ-turn conformationally constrained tripeptides. The synthetic pathway devised for the preparation of both epimers of I at C5 involves a reductive amination of cyanomethyleneamino pseudopeptides with amino acid derivs., followed by regiospecific lactamization of the resulting C-backbone branched pseudopeptides. The versatility of this methodol. is illustrated in the synthesis of analogs of the tetrapeptides Boc-[Nle31]-CCK-4 and Boc-[Lys(o-tolylaminocarbonyl)31]-CCK-4. The introduction of the new conformational restriction into these Boc-CCK-4 analogs led to a loss of 2 or 3 orders of magnitude in the affinity at CCK receptors. These results suggest the absence of a γ-turn in the bioactive conformation of the C-terminal tripeptide of CCK-4.

IT 438579-76-1 438579-77-2 438579-78-3
438579-79-4
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of γ-turn mimetics based on oxopiperazine derivs. and cholecystokinin tetrapeptides containing them)

RN 438579-76-1 CAPLUS

CN 2-Piperazineacetic acid, 4-[(1S)-2-amino-2-oxo-1-(phenylmethyl)ethyl]-6-[(1S)-1-[[(2S)-2-[[(1,1-dimethylethoxy)carbonyl]amino]-3-(1H-indol-3-yl)-1-oxopropyl]amino]pentyl]-3-oxo-, methyl ester, (2S,6R)- (CA INDEX NAME)

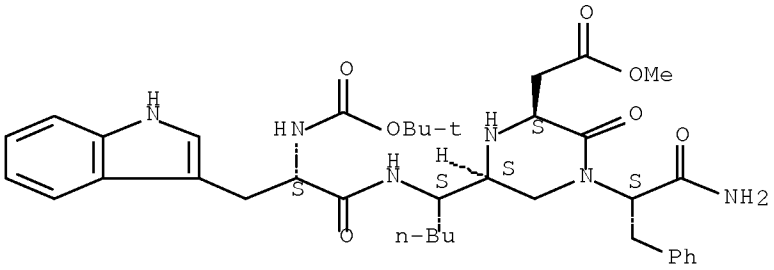
Absolute stereochemistry.



RN 438579-77-2 CAPLUS

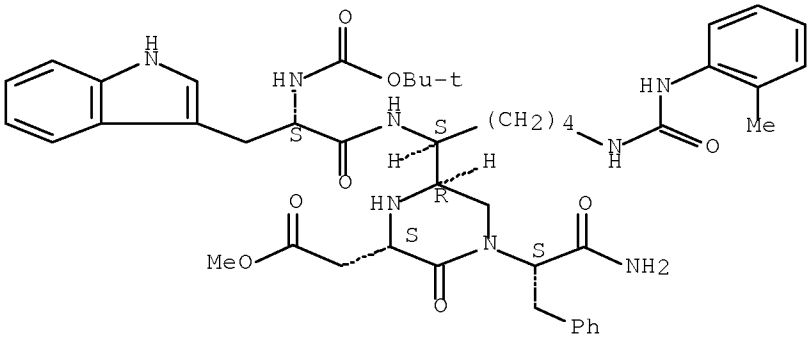
CN 2-Piperazineacetic acid, 4-[(1S)-2-amino-2-oxo-1-(phenylmethyl)ethyl]-6-[(1S)-1-[[(2S)-2-[[(1,1-dimethylethoxy)carbonyl]amino]-3-(1H-indol-3-yl)-1-oxopropyl]amino]pentyl]-3-oxo-, methyl ester, (2S,6S)- (CA INDEX NAME)

Absolute stereochemistry.



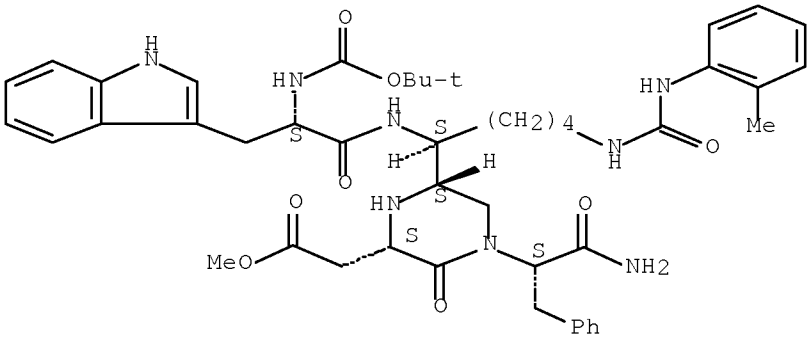
RN 438579-78-3 CAPLUS
CN 2-Piperazineacetic acid, 4-[(1S)-2-amino-2-oxo-1-(phenylmethyl)ethyl]-6-[(1S)-1-[[(2S)-2-[[(1,1-dimethylethoxy)carbonyl]amino]-3-(1H-indol-3-yl)-1-oxopropyl]amino]-5-[[[(2-methylphenyl)amino]carbonyl]amino]pentyl]-3-oxo-, methyl ester, (2S,6R)- (CA INDEX NAME)

Absolute stereochemistry.



RN 438579-79-4 CAPLUS
CN 2-Piperazineacetic acid, 4-[(1S)-2-amino-2-oxo-1-(phenylmethyl)ethyl]-6-[(1S)-1-[[(2S)-2-[[(1,1-dimethylethoxy)carbonyl]amino]-3-(1H-indol-3-yl)-1-oxopropyl]amino]-5-[[[(2-methylphenyl)amino]carbonyl]amino]pentyl]-3-oxo-, methyl ester, (2S,6S)- (CA INDEX NAME)

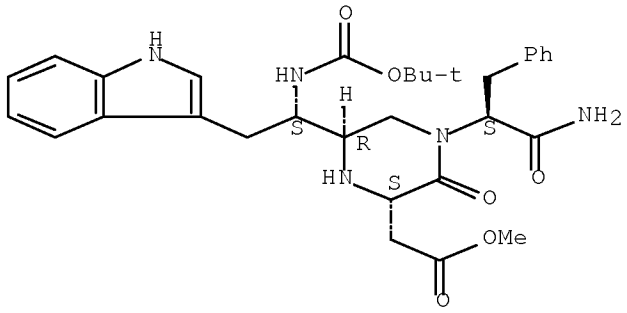
Absolute stereochemistry.



IT 438579-60-3P 438579-61-4P 438579-62-5P
438579-63-6P 438579-64-7P 438579-65-8P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of γ-turn mimetics based on oxopiperazine derivs. and cholecystokinin tetrapeptides containing them)

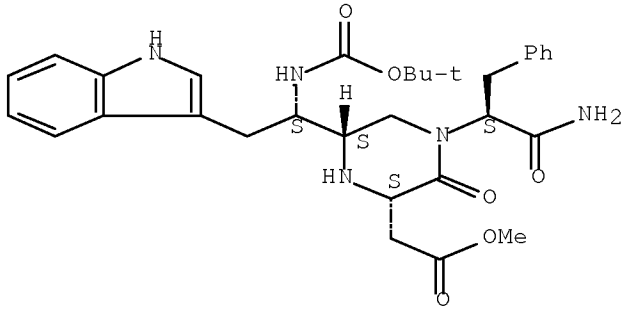
RN 438579-60-3 CAPLUS
CN 2-Piperazineacetic acid, 4-[(1S)-2-amino-2-oxo-1-(phenylmethyl)ethyl]-6-[(1S)-1-[[(1,1-dimethylethoxy)carbonyl]amino]-2-(1H-indol-3-yl)ethyl]-3-oxo-, methyl ester, (2S,6R)- (CA INDEX NAME)

Absolute stereochemistry.



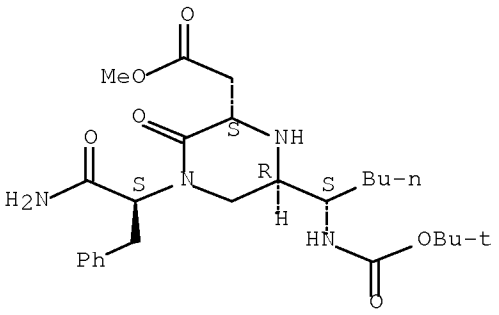
RN 438579-61-4 CAPLUS
CN 2-Piperazineacetic acid, 4-[(1S)-2-amino-2-oxo-1-(phenylmethyl)ethyl]-6-[(1S)-1-[[(1,1-dimethylethoxy)carbonyl]amino]-2-(1H-indol-3-yl)ethyl]-3-oxo-, methyl ester, (2S,6S)- (CA INDEX NAME)

Absolute stereochemistry.



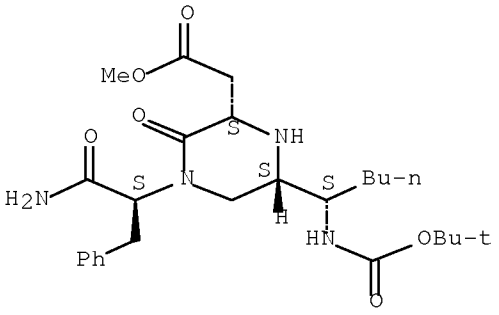
RN 438579-62-5 CAPLUS
CN 2-Piperazineacetic acid, 4-[(1S)-2-amino-2-oxo-1-(phenylmethyl)ethyl]-6-[(1S)-1-[[(1,1-dimethylethoxy)carbonyl]amino]pentyl]-3-oxo-, methyl ester, (2S,6R)- (CA INDEX NAME)

Absolute stereochemistry.



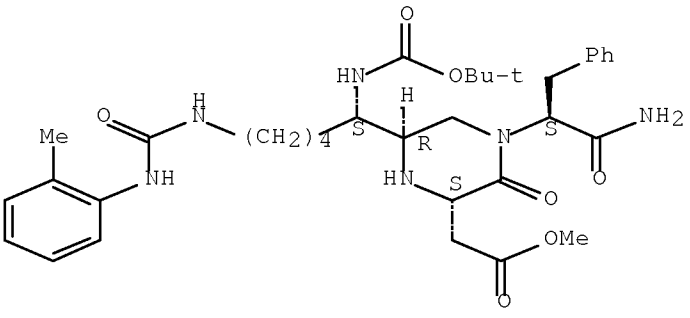
RN 438579-63-6 CAPLUS
CN 2-Piperazineacetic acid, 4-[(1S)-2-amino-2-oxo-1-(phenylmethyl)ethyl]-6-[(1S)-1-[[(1,1-dimethylethoxy)carbonyl]amino]pentyl]-3-oxo-, methyl ester, (2S,6S)- (CA INDEX NAME)

Absolute stereochemistry.



RN 438579-64-7 CAPLUS
CN 2-Piperazineacetic acid, 4-[(1S)-2-amino-2-oxo-1-(phenylmethyl)ethyl]-6-[(1S)-1-[[(1,1-dimethylethoxy)carbonyl]amino]-5-[[[(2-methylphenyl)amino]carbonyl]amino]pentyl]-3-oxo-, methyl ester, (2S,6R)- (CA INDEX NAME)

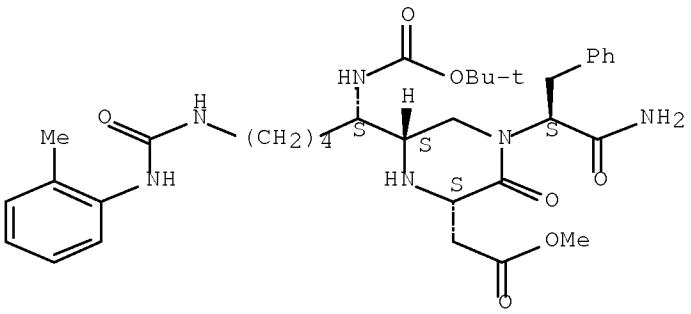
Absolute stereochemistry.



RN 438579-65-8 CAPLUS

CN 2-Piperazineacetic acid, 4-[(1S)-2-amino-2-oxo-1-(phenylmethyl)ethyl]-6-[(1S)-1-[[(1,1-dimethylethoxy)carbonyl]amino]-5-[[[(2-methylphenyl)amino]carbonyl]amino]pentyl]-3-oxo-, methyl ester, (2S,6S)- (CA INDEX NAME)

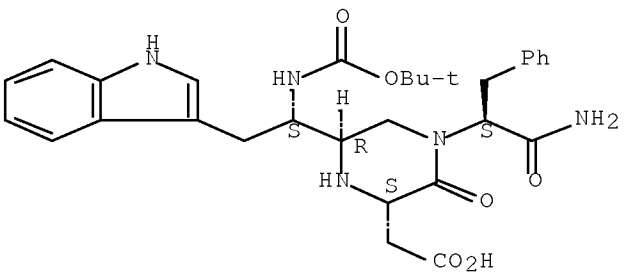
Absolute stereochemistry.



IT 438579-74-9P 438579-75-0P 438579-80-7P
438579-81-8P 438579-82-9P 438579-83-0P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of γ-turn mimetics based on oxopiperazine derivs. and cholecystokinin tetrapeptides containing them)

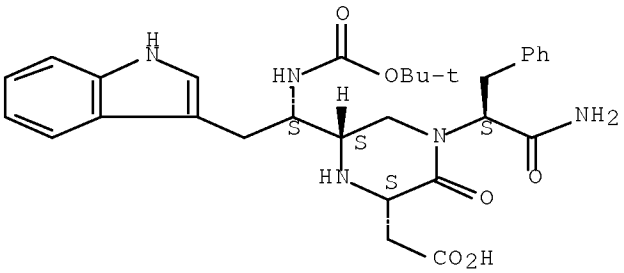
RN 438579-74-9 CAPLUS
CN 2-Piperazineacetic acid, 4-[(1S)-2-amino-2-oxo-1-(phenylmethyl)ethyl]-6-[(1S)-1-[[(1,1-dimethylethoxy)carbonyl]amino]-2-(1H-indol-3-yl)ethyl]-3-oxo-, (2S,6R)- (CA INDEX NAME)

Absolute stereochemistry.



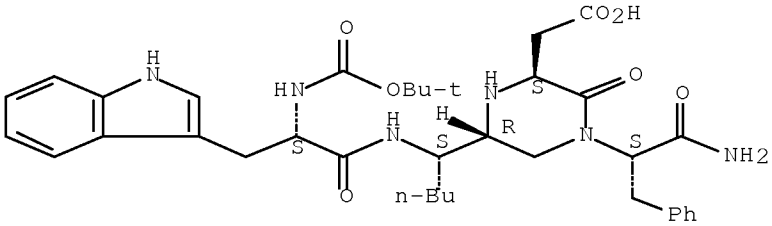
RN 438579-75-0 CAPLUS
CN 2-Piperazineacetic acid, 4-[(1S)-2-amino-2-oxo-1-(phenylmethyl)ethyl]-6-[(1S)-1-[[(1,1-dimethylethoxy)carbonyl]amino]-2-(1H-indol-3-yl)ethyl]-3-oxo-, (2S,6S)- (CA INDEX NAME)

Absolute stereochemistry.



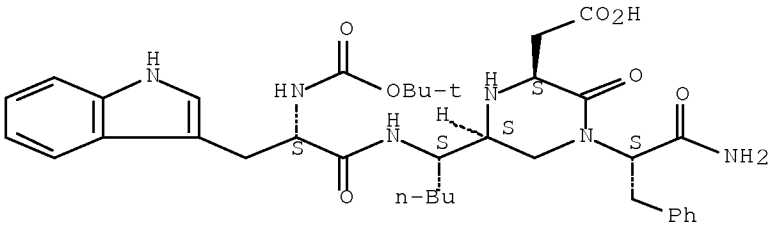
RN 438579-80-7 CAPLUS
CN 2-Piperazineacetic acid, 4-[(1S)-2-amino-2-oxo-1-(phenylmethyl)ethyl]-6-[(1S)-1-[[(2S)-2-[[(1,1-dimethylethoxy)carbonyl]amino]-3-(1H-indol-3-yl)-1-oxopropyl]amino]pentyl]-3-oxo-, (2S,6R)- (CA INDEX NAME)

Absolute stereochemistry.



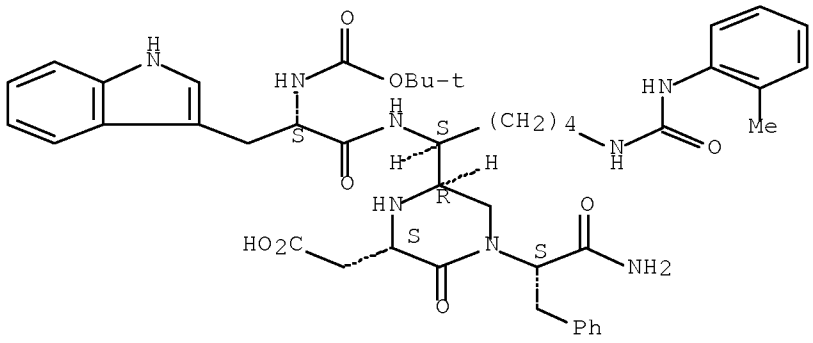
RN 438579-81-8 CAPLUS
CN 2-Piperazineacetic acid, 4-[(1S)-2-amino-2-oxo-1-(phenylmethyl)ethyl]-6-[(1S)-1-[[(2S)-2-[[(1,1-dimethylethoxy)carbonyl]amino]-3-(1H-indol-3-yl)-1-oxopropyl]amino]pentyl]-3-oxo-, (2S,6S)- (CA INDEX NAME)

Absolute stereochemistry.



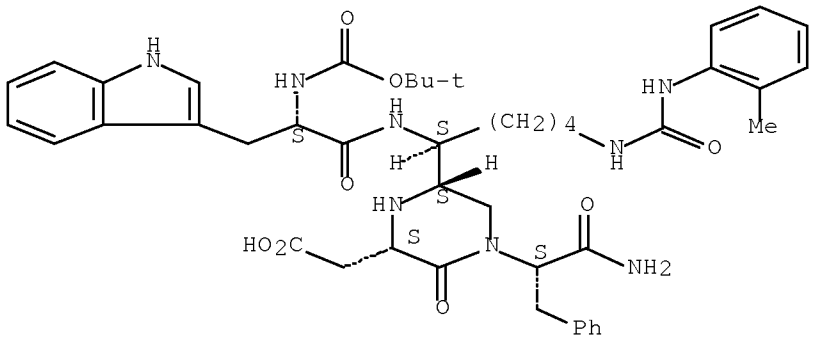
RN 438579-82-9 CAPLUS
CN 2-Piperazineacetic acid, 4-[(1S)-2-amino-2-oxo-1-(phenylmethyl)ethyl]-6-[(1S)-1-[[(2S)-2-[[(1,1-dimethylethoxy)carbonyl]amino]-3-(1H-indol-3-yl)-1-oxopropyl]amino]-5-[[[(2-methylphenyl)amino]carbonyl]amino]pentyl]-3-oxo-, (2S,6R)- (CA INDEX NAME)

Absolute stereochemistry.



RN 438579-83-0 CAPLUS
CN 2-Piperazineacetic acid, 4-[(1S)-2-amino-2-oxo-1-(phenylmethyl)ethyl]-6-[(1S)-1-[[(2S)-2-[[(1,1-dimethylethoxy)carbonyl]amino]-3-(1H-indol-3-yl)-1-oxopropyl]amino]-5-[[[(2-methylphenyl)amino]carbonyl]amino]pentyl]-3-oxo-, (2S,6S)- (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 49 THERE ARE 49 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 25 OF 34 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2002:142680 CAPLUS Full-text
DOCUMENT NUMBER: 136:184120
TITLE: Preparation of substituted imidazoles as TAFIa inhibitors
INVENTOR(S): Allerton, Charlotte Moira Norfor; Blagg, Julian; Bunnage, Mark Edward; Steele, John
PATENT ASSIGNEE(S): Pfizer Limited, UK; Pfizer Inc.
SOURCE: PCT Int. Appl., 183 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE

WO 2002014285	A1	20020221	WO 2001-IB1425	20010808 <--
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2419633	A1	20020221	CA 2001-2419633	20010808 <--
AU 200176605	A	20020225	AU 2001-76605	20010808 <--
EP 1311488	A1	20030521	EP 2001-954264	20010808 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
BR 2001013289	A	20030617	BR 2001-13289	20010808 <--
JP 2004506044	T	20040226	JP 2002-519428	20010808 <--
HU 2003003763	A2	20040428	HU 2003-3763	20010808 <--
NZ 522823	A	20041126	NZ 2001-522823	20010808 <--
EE 200300070	A	20050215	EE 2003-70	20010808
AP 1375	A	20050303	AP 2001-2250	20010816
US 2002147229	A1	20021010	US 2001-932826	20010817 <--
BG 107330	A	20030630	BG 2002-107330	20021128 <--
IN 2002MN01773	A	20050204	IN 2002-MN1773	20021210
HR 2003000103	A1	20030430	HR 2003-103	20030213 <--
NO 2003000706	A	20030415	NO 2003-706	20030214 <--
MX 2003PA01425	A	20030606	MX 2003-PA1425	20030214 <--
ZA 2003001230	A	20040421	ZA 2003-1230	20030214 <--
US 2003236420	A1	20031225	US 2003-390305	20030317 <--
US 6949577	B2	20050927		

PRIORITY APPLN. INFO.:	GB 2000-20346	A	20000817
	GB 2000-27409	A	20001109
	GB 2000-29556	A	20001204
	US 2000-232498P	P	20000913
	US 2001-260606P	P	20010109
	WO 2001-IB1425	W	20010808
	US 2001-932826	B1	20010817

OTHER SOURCE(S): MARPAT 136:184120

AB Imidazoles R1C3H2N2-CR2R3CH(CO2H)-X(R4)CR5R6(CH2)nCR7R8NR9R10 [C3H2N2 represents the imidazole ring; X = N or CH; n = 0-3; R1 = H, (un)substituted alkyl, alkenyl or alkynyl, heterocyclyl, (hetero)aryl; R2, R3 = H, (un)substituted alkyl or R2R3 = alkylene; R4 = H, (un)substituted alkyl or R4R10 = (un)substituted alkylene; R5, R6 = H, aryl, (un)substituted alkyl or R5R6, R5R10 or R6R10 = alkylene; R7, R8 = H, (un)substituted alkyl or R7R8 = alkylene; R9, R10 = H, an amidino group, (un)substituted alkyl or R9R10 = alkylene] were prepared as inhibitors of active thrombin activatable fibrinolysis inhibitor (TAFIa) for use in the treatment of disease. Thus, (±)-6-amino-2-[(1-propyl-1H-imidazol-4- yl)methyl]hexanoic acid was prepared and showed Ki = 310 nM for inhibition of TAFIa.

IT 400044-61-3P 400044-62-4P

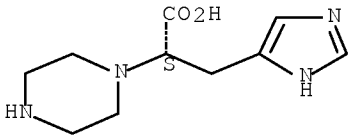
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of substituted imidazole amino acid derivs. as TAFIa inhibitors)

RN 400044-61-3 CAPLUS

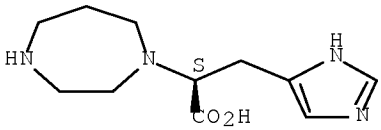
CN 1-Piperazineacetic acid, α-(1H-imidazol-4-ylmethyl)-, (αS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



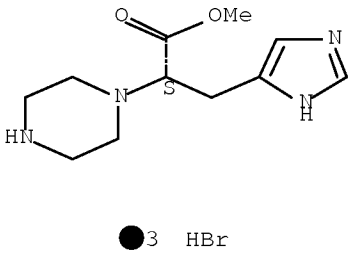
RN 400044-62-4 CAPLUS
CN 1H-1,4-Diazepine-1-acetic acid, hexahydro-α-(1H-imidazol-4-ylmethyl)-, (αS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



IT 400045-22-9P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of substituted imidazole amino acid derivs. as TAFIa inhibitors)
RN 400045-22-9 CAPLUS
CN 1-Piperazineacetic acid, α-(1H-imidazol-4-ylmethyl)-, methyl ester, trihydrobromide, (αS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



● 3 HBr

REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 26 OF 34 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2002:123542 CAPLUS Full-text
DOCUMENT NUMBER: 136:184118
TITLE: Combined resin method for high-speed synthesis of combinatorial libraries
INVENTOR(S): Lou, Boliang; Gharbaoui, Tawfik

PATENT ASSIGNEE(S): USA
SOURCE: U.S. Pat. Appl. Publ., 20 pp., Cont.-in-part of U.S. Ser. No. 264,515.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

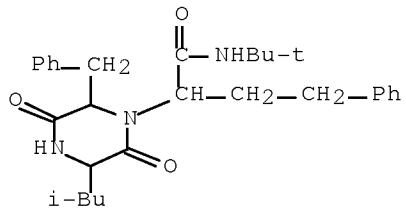
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2002019013	A1	20020214	US 2001-855197	20010514 <--
PRIORITY APPLN. INFO.:			US 1999-264515	A2 19990308

OTHER SOURCE(S): CASREACT 136:184118

AB A method for synthesis of a combinatorial library of ≥2 dissimilar products comprises forming a solid support substrate consisting of ≥2 resins of dissimilar functionality, said resins containing a linker; contacting the support substrate with a synthon selected from a monomer, oligomer, or oligonucleotide under coupling conditions, contacting the resulting support with a cleavage reagent under cleavage conditions to cleave the bond between only 1 of said resins and its linker to release a product comprising the coupled synthon, recovering said product, contacting the support with a second cleaving agent under second cleavage conditions to cleave the bond between a second resin and its linker to release a second product, and recovering the second product. The resins are different when the individual resins have either dissimilar polymeric backbones or dissimilar linkers or both and thus have a different chemical activity in the presence of a release or cleaving agent from the other resins in the reaction vessel. Thus, a mixture of Phe-Wang resin and Phe-Merrifield resin in THF/MeOH was treated with PhCO2H, hydrocinnamaldehyde, and Bu isocyanide in MeOH followed by shaking for 2 days. The resin mixture was first cleaved with CF3CO2H in CH2Cl2 and then with diisopropylamine in CH2Cl2 to give sep. 2-[Benzoyl(1-tert- butylcarbamoyl-3-phenylpropyl)amino]-3-phenylpropionic acid and N-(1-tert-Butylcarbamoyl-3-phenylpropyl)-N-(butylcarbamoyl-2- phenylethyl)benzamide.

IT 398617-41-9P
RL: CPN (Combinatorial preparation); IMF (Industrial manufacture); CMBI (Combinatorial study); PREP (Preparation)
(combined resin method for high-speed synthesis of combinatorial libraries)

RN 398617-41-9 CAPLUS
CN 1-Piperazineacetamide, N-(1,1-dimethylethyl)-3-(2-methylpropyl)-2,5-dioxo-α-(2-phenylethyl)-6-(phenylmethyl)- (CA INDEX NAME)



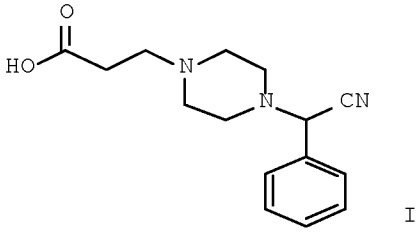
L4 ANSWER 27 OF 34 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2002:46892 CAPLUS Full-text
DOCUMENT NUMBER: 137:247664

TITLE: N-substituted α-aminonitriles via solid phase S-3CR

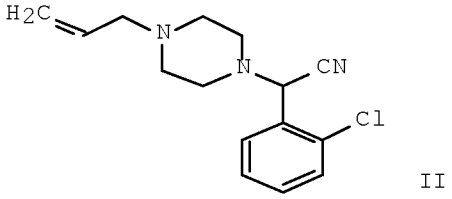
AUTHOR(S): Probst, Katrin C.; Schmid, Dietmar G.; Jung, Gunther
CORPORATE SOURCE: Institut fur Organische Chemie, Auf der Morgenstelle 18, Tubingen, 72076, Germany

SOURCE: Innovation and Perspectives in Solid Phase Synthesis & Combinatorial Libraries: Peptides, Proteins and Nucleic Acids--Small Molecule Organic Chemistry Diversity, Collected Papers, International Symposium, 6th, York, United Kingdom, Aug. 31-Sept. 4, 1999 (2001), Meeting Date 1999, 339-342. Editor(s): Epton, Roger. Mayflower Scientific Ltd.: Kingswinford, UK.
CODEN: 69CEGV; ISBN: 0-9515735-3-5
DOCUMENT TYPE: Conference
LANGUAGE: English
OTHER SOURCE(S): CASREACT 137:247664

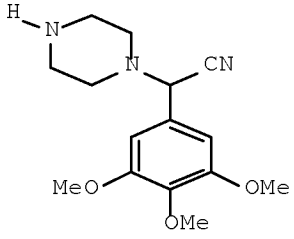
GI



I



II



III

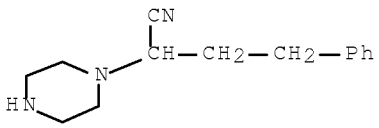
AB α-Aminonitriles are significant intermediates for a variety of syntheses including the preparation of α-amino acids by the Strecker reaction (S-3CR). The use of two different linker mols. in the synthesis of polymer-bound α-aminonitriles were studied, a base-labile linker yielding N-alkylated piperazines via Hofmann elimination and an urethane type linker yielding the free piperazine nitrogen. Three different series of α-aminonitriles, e.g. I-III, with various substitutions on the piperazine ring and in the aromatic ring were synthesized. The crude product purities were in the range of 54-87% using piperazine linked via polymer bound acrylate. This linker allows a quaternization with an alkyl halogenide followed by a Hofmann elimination. Using the urethane type linker mol. and cleavage with acid, product purities were in the range of 72-93%.

IT 460720-87-0P
RL: SPN (Synthetic preparation); PREP (Preparation)

(optimization of solid phase conditions; preparation of a combinatorial library of n-substituted α-aminonitriles via three component condensation of resin bound piperazines with aryl aldehydes and acetone cyanohydrin)

RN 460720-87-0 CAPLUS

CN 1-Piperazineacetonitrile, α-(2-phenylethyl)- (CA INDEX NAME)



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 28 OF 34 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2002:10450 CAPLUS Full-text

DOCUMENT NUMBER: 136:85824

TITLE: Preparation of benzhydryl derivatives as tachykinin antagonists

INVENTOR(S): Take, Kazuhiko; Kasahara, Chiyoshi; Shigenaga, Shinji; Azami, Hidenori; Eikyu, Yoshiteru; Nakai, Kazuo; Morita, Masataka

PATENT ASSIGNEE(S): Fujisawa Pharmaceutical Co., Ltd., Japan

SOURCE: PCT Int. Appl., 136 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

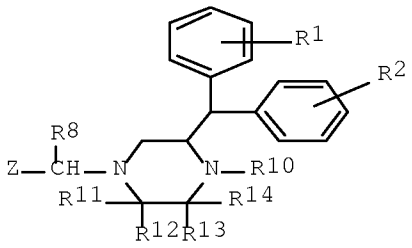
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002000631	A2	20020103	WO 2001-JP5424	20010625 <--
WO 2002000631	A3	20020808		
W: JP, US				
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR				
EP 1294700	A2	20030326	EP 2001-943821	20010625 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI, CY, TR				
JP 2004501903	T	20040122	JP 2002-505379	20010625 <--
US 2003176430	A1	20030918	US 2002-297937	20021220 <--
US 6787543	B2	20040907		

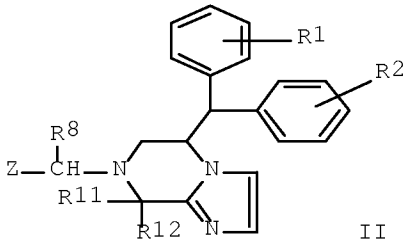
PRIORITY APPLN. INFO.:
AU 2000-8454 A 20000629
AU 2001-2373 A 20010102
WO 2001-JP5424 W 20010625

OTHER SOURCE(S): MARPAT 136:85824

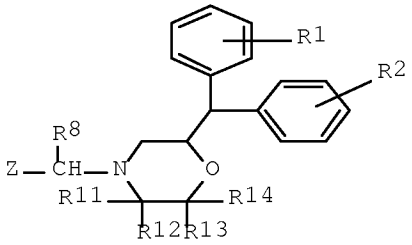
GI



I



II



III

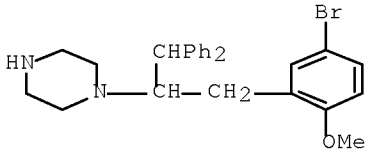
AB The title compds. including 2-benzhydrylpiperazine, 4-benzhydrylhexahydropyrrolo[1,2-a]pyrazine, 4-benzhydrylimidazo[2,3]pyrazin e, and 2-benzhydrylmorpholine derivs. [I, II, and III; R1, R2 = H, halo, lower alkoxy, lower alkyl, mono(or di or tri) halo(lower)alkyl; R10 = H, lower alkyl optionally substituted with lower alkoxy, carbamoyl, or phenyl; R11, R12, R13, R14 = H, lower alkoxycarbonyl or lower alkyl optionally substituted with hydroxy or lower alkoxy, and R10 and R14 optionally forming (CH2)iCHR15(CH2)j, (CH2)iNR16(CH2)j, (CH2)iOCH2CO or (CH2)iO(CH2)j (wherein i, j = 1,2; R15 = H, halo, lower alkyl, HO, lower alkoxy, amino, lower alkylamino or di (lower)alkylamino; R16 = H, lower alkyl, lower alkanoyl, lower alkoxycarbonyl, benzyloxycarbonyl, lower alkylsulfonyl or mono(or di or tri)halo(lower)alkylsulfonyl); or R12 and R13 optionally forming (CH2)iCHR15(CH2)j (wherein i, j, R15 = same as above); or R13 and R14 optionally forming oxo or two to five methylenes, optionally substituted Ph, naphthyl, benzo[d][1,3]dioxolyl, or pyridyl] and salts thereof are prepared These compds. and pharmaceutically acceptable salts thereof have pharmacol. activities such as tachykinin antagonism, especially substance P antagonism, neurokinin A antagonism or neurokinin B antagonism, and therefore are useful for treating or preventing tachykinin-mediated diseases, particularly substance P-mediated diseases, for example, respiratory diseases such as asthma, bronchitis, rhinitis, cough, and expectoration; ophthalmic diseases such as conjunctivitis and vernal conjunctivitis; cutaneous diseases such as contact dermatitis, atopic dermatitis, urticaria, and other eczematoid dermatitis; inflammatory diseases such as rheumatoid arthritis and osteoarthritis; and pains or aches (e.g. migraine, headache, cluster headache, toothache, cancerous pain, back pain, neuralgia, etc.). Thus, chloroformate (3 drops) was added to a mixture of (6R,9aS)-4-benzhydryl-2-[2- methoxy-5-[5-(trifluoromethyl)-1H-tetrazol-1-yl]benzyl]octahydropyrazino[1 ,2-a]pyrazine trihydrochloride (12 mg) and N,N-diisopropylethylamine (6 drops) in dichloromethane (1 mL) under ice-cooling and stirred at the same temperature for 2 h to give, after work-up, purification on silica gel chromatog., and treatment with 4 N HCl/EtOAc, (6R,9aR)-6-benzhydryl-8-[2-methoxy-5-[5-(trifluoromethyl)-1H-tetrazol-1-yl]benzyl]octahydropyrazino[1,2-a]pyrazine- 2-carboxylic acid Me ester dihydrochloride (IV) (7.0 mg) as a colorless powder. IV showed 90 % inhibition rate of emesis in the dog at the dose of 1.0 mg/kg.

IT 385804-11-5P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of benzhydryl derivs. as tachykinin antagonists for treating or
preventing tachykinin-mediated diseases)
RN 385804-11-5 CAPLUS
CN Piperazine, 1-[1-[(5-bromo-2-methoxyphenyl)methyl]-2,2-diphenylethyl]-, bis(trifluoroacetate) (9CI) (CA INDEX NAME)

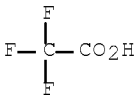
CM 1

CRN 385804-10-4
CMF C26 H29 Br N2 O



CM 2

CRN 76-05-1
CMF C2 H F3 O2



L4 ANSWER 29 OF 34 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2002:10310 CAPLUS Full-text
DOCUMENT NUMBER: 136:79788
TITLE: Remedial agent for anxiety neurosis or depression and piperazine derivative
INVENTOR(S): Nakazato, Atsuro; Chaki, Shigeyuki; Okubo, Taketoshi; Ogawa, Shin-ichi; Ishii, Takaaki
PATENT ASSIGNEE(S): Taisho Pharmaceutical Co., Ltd., Japan
SOURCE: PCT Int. Appl., 74 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002000259	A1	20020103	WO 2001-JP5524	20010627 <--

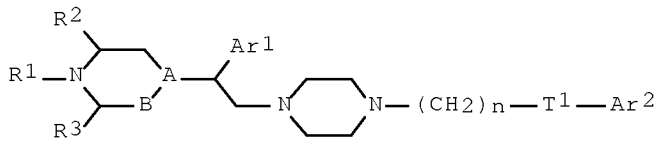
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

AU 200166342	A	20020108	AU 2001-66342	20010627	<--
CA 2413506	A1	20021220	CA 2001-2413506	20010627	<--
EP 1295608	A1	20030326	EP 2001-943844	20010627	<--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR					
HU 2003001719	A2	20030929	HU 2003-1719	20010627	<--
BR 2001011976	A	20031209	BR 2001-11976	20010627	<--
EE 200200717	A	20040816	EE 2002-717	20010627	<--
NZ 523800	A	20050225	NZ 2001-523800	20010627	
BG 107371	A	20030829	BG 2002-107371	20021211	<--
MX 2002PA12707	A	20030425	MX 2002-PA12707	20021218	<--
US 2003186992	A1	20031002	US 2002-311429	20021218	<--
US 6949552	B2	20050927			
NO 2002006122	A	20030225	NO 2002-6122	20021219	<--
ZA 2002010386	A	20040210	ZA 2002-10386	20021220	<--
IN 2002KN01557	A	20050311	IN 2002-KN1557	20021220	

PRIORITY APPLN. INFO.: JP 2000-192856 A 20000627
WO 2001-JP5524 W 20010627

OTHER SOURCE(S): MARPAT 136:79788

GI

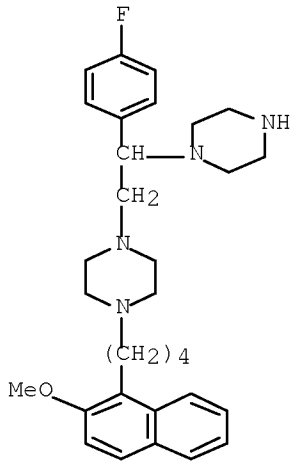


I

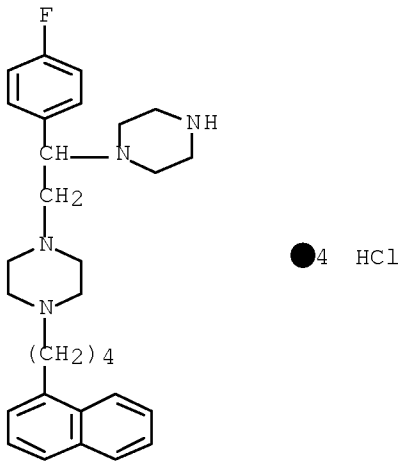
AB A remedy for anxiety neurosis or depression which contains an melanocortin MC4 receptor antagonist as the active ingredient; and a piperazine derivative represented by the formula [I] or a pharmaceutically acceptable salt thereof, wherein Ar1 represents (un)substituted Ph, etc.; Ar2 represents (un)substituted naphthyl, quinolyl, a group represented by the formula [a] (wherein R4 is hydrogen or halogeno; and X-Y is CH-NH, CH-O, CH-S, or N-O), or a group represented by the formula [b] (wherein R5 is hydrogen, hydroxy, or C1-10 alkoxy); R1 represents hydrogen, C1-10 alkyl, etc.; R2 and R3 are the same or different and each is hydrogen or C1-10 alkyl; A-B represents N-CH2, CH-CH2, C(OH)-CH2, or C=CH; T1 represents a single bond, -O-, etc.; and n is an integer of 1 to 10.

IT 385844-13-3P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(remedial agent for anxiety neurosis or depression and piperazine derivative)

RN 385844-13-3 CAPLUS
CN Piperazine, 1-[2-(4-fluorophenyl)-2-(1-piperazinyl)ethyl]-4-[4-(2-methoxy-1-naphthalenyl)butyl]- (9CI) (CA INDEX NAME)



IT 385843-99-2
RL: RCT (Reactant); RACT (Reactant or reagent)
(remedial agent for anxiety neurosis or depression and piperazine derivative)
RN 385843-99-2 CAPLUS
CN Piperazine, 1-[2-(4-fluorophenyl)-2-(1-piperazinyl)ethyl]-4-[4-(1-naphthalenyl)butyl]-, hydrochloride (1:4) (CA INDEX NAME)



REFERENCE COUNT: 14 THERE ARE 14 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 30 OF 34 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2001:578722 CAPLUS Full-text
DOCUMENT NUMBER: 135:303848

TITLE: 2,6-Diketopiperazines from Amino Acids, from Solution-Phase to Solid-Phase Organic Synthesis

AUTHOR(S): Perrotta, Enzo; Altamura, Maria; Barani, Teresa; Bindi, Simona; Giannotti, Danilo; Harmat, Nicholas J. S.; Nannicini, Rossano; Maggi, Carlo Alberto

CORPORATE SOURCE: Department of Chemistry, Menarini Ricerche S.p.A., Florence, I-50131, Italy

SOURCE: Journal of Combinatorial Chemistry (2001), 3(5), 453-460
CODEN: JCCHFF; ISSN: 1520-4766

PUBLISHER: American Chemical Society

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 135:303848

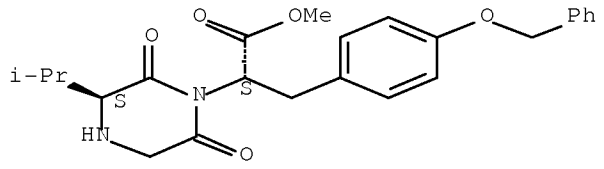
AB A method to prepare 1,3-disubstituted 2,6-diketopiperazines as useful heterocyclic library scaffolds in the search of new leads for drug discovery is described. The method can be used in solution-phase and solid-phase conditions. In the key step of the synthesis, the imido portion of the new mol. is formed in solution through intramol. cyclization, under basic conditions, of a secondary amide nitrogen on a benzyl ester. A Wang resin carboxylic ester is used as the acylating agent under solid-phase conditions, allowing the cyclization to take place with simultaneous cleavage of the product from the resin (cyclocleavage). The synthetic method worked well with several couples of amino acids, independently from their configuration, and was used for the parallel synthesis of a series of fully characterized compds. The use of iterative conditions in the solid phase (repeated addition of fresh solvent and potassium carbonate to the resin after filtering out the product-containing solution) allowed the diastereoisomer content to be kept below the detection limit by HPLC and 1H NMR (200 MHz).

IT 366816-96-8P
RL: PNU (Preparation, unclassified); PREP (Preparation)
(attempted preparation of piperazinediones from amino acidss)

RN 366816-96-8 CAPLUS

CN 1-Piperazineacetic acid, 3-(1-methylethyl)-2,6-dioxo-α-[[4-(phenylmethoxy)phenyl]methyl]-, methyl ester, (αS,3S)- (CA INDEX NAME)

Absolute stereochemistry.



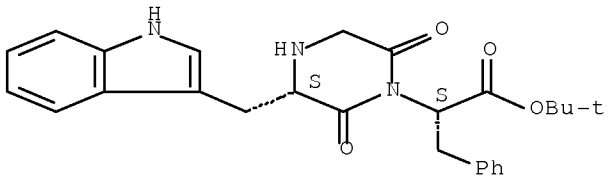
IT 366816-60-6P 366816-62-8P 366816-64-0P
366816-66-2P 366816-68-4P 366816-80-0P
366816-82-2P 366816-84-4P 366816-88-8P
366816-90-2P 366816-92-4P 366817-45-0P
366817-47-2P
RL: SPN (Synthetic preparation); PREP (Preparation)
(solid-phase and solution synthesis of piperazinediones from amino acids)

RN 366816-60-6 CAPLUS

CN 1-Piperazineacetic acid, 3-(1H-indol-3-ylmethyl)-2,6-dioxo-α-(phenylmethyl)-, 1,1-dimethylethyl ester, (αS,3S)- (9CI) (CA INDEX

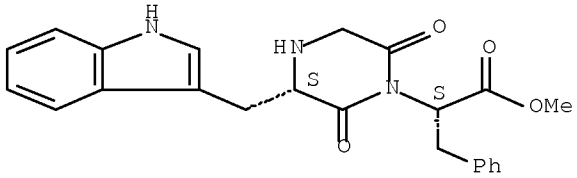
NAME)

Absolute stereochemistry.



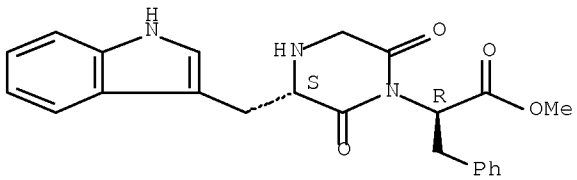
RN 366816-62-8 CAPLUS
CN 1-Piperazineacetic acid, 3-(1H-indol-3-ylmethyl)-2,6-dioxo-α-(phenylmethyl)-, methyl ester, (αS,3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



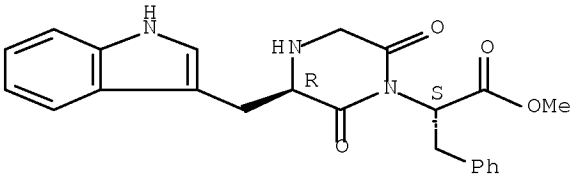
RN 366816-64-0 CAPLUS
CN 1-Piperazineacetic acid, 3-(1H-indol-3-ylmethyl)-2,6-dioxo-α-(phenylmethyl)-, methyl ester, (αR,3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 366816-66-2 CAPLUS
CN 1-Piperazineacetic acid, 3-(1H-indol-3-ylmethyl)-2,6-dioxo-α-(phenylmethyl)-, methyl ester, (αS,3R)- (9CI) (CA INDEX NAME)

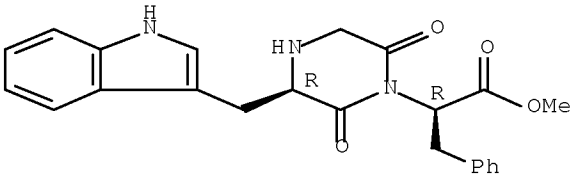
Absolute stereochemistry.



RN 366816-68-4 CAPLUS

CN 1-Piperazineacetic acid, 3-(1H-indol-3-ylmethyl)-2,6-dioxo-α-(phenylmethyl)-, methyl ester, (αR,3R)- (9CI) (CA INDEX NAME)

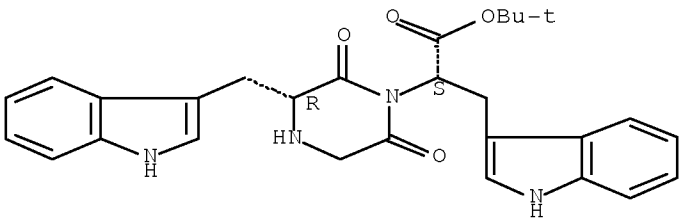
Absolute stereochemistry.



RN 366816-80-0 CAPLUS

CN 1H-Indole-3-propanoic acid, α-[(3R)-3-(1H-indol-3-ylmethyl)-2,6-dioxo-1-piperazinyl]-, 1,1-dimethylethyl ester, (αS)- (9CI) (CA INDEX NAME)

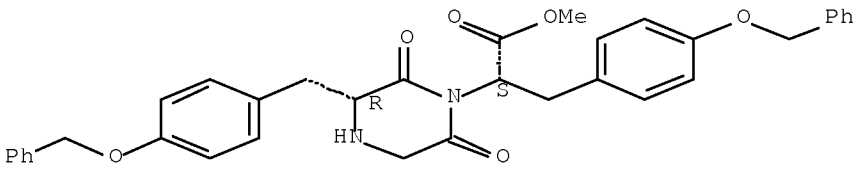
Absolute stereochemistry.



RN 366816-82-2 CAPLUS

CN 1-Piperazineacetic acid, 2,6-dioxo-α,3-bis[[4-(phenylmethoxy)phenyl]methyl]-, methyl ester, (αS,3R)- (9CI) (CA INDEX NAME)

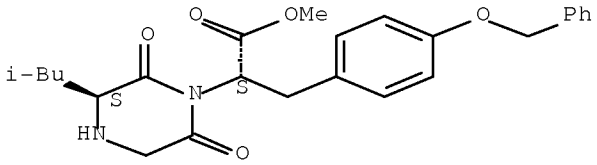
Absolute stereochemistry.



RN 366816-84-4 CAPLUS

CN 1-Piperazineacetic acid, 3-(2-methylpropyl)-2,6-dioxo-α-[[4-(phenylmethoxy)phenyl]methyl]-, methyl ester, (αS,3S)- (CA INDEX NAME)

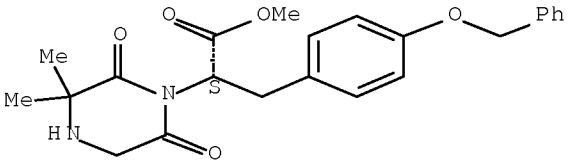
Absolute stereochemistry.



RN 366816-88-8 CAPLUS

CN 1-Piperazineacetic acid, 3,3-dimethyl-2,6-dioxo-α-[[4-(phenylmethoxy)phenyl]methyl]-, methyl ester, (αS)- (CA INDEX NAME)

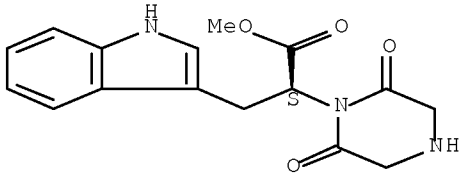
Absolute stereochemistry.



RN 366816-90-2 CAPLUS

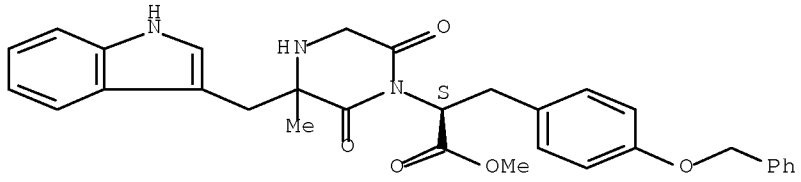
CN 1H-Indole-3-propanoic acid, α-(2,6-dioxo-1-piperazinyl)-, methyl ester, (αS)- (CA INDEX NAME)

Absolute stereochemistry.



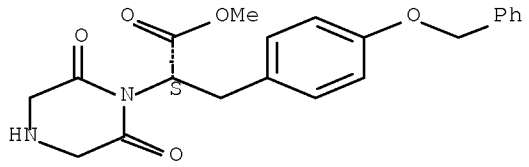
RN 366816-92-4 CAPLUS
CN 1-Piperazineacetic acid, 3-(1H-indol-3-ylmethyl)-3-methyl-2,6-dioxo-α-[[4-(phenylmethoxy)phenyl]methyl]-, methyl ester, (αS)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



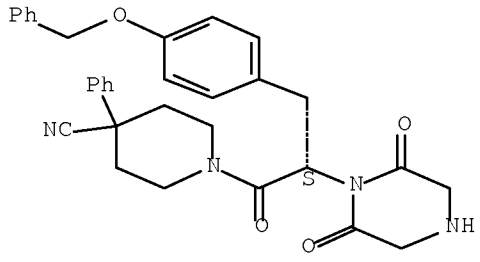
RN 366817-45-0 CAPLUS
CN 1-Piperazineacetic acid, 2,6-dioxo-α-[[4-(phenylmethoxy)phenyl]methyl]-, methyl ester, (αS)- (CA INDEX NAME)

Absolute stereochemistry.



RN 366817-47-2 CAPLUS
CN 4-Piperidinecarbonitrile, 1-[(2S)-2-(2,6-dioxo-1-piperazinyl)-1-oxo-3-[4-(phenylmethoxy)phenyl]propyl]-4-phenyl- (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 22 THERE ARE 22 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

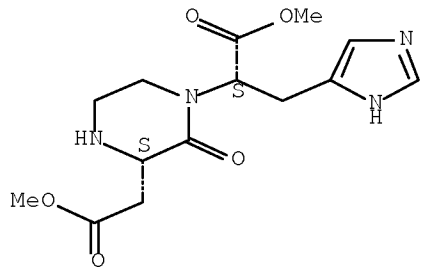
L4 ANSWER 31 OF 34 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2001:386426 CAPLUS Full-text
DOCUMENT NUMBER: 135:107553
TITLE: Europium(III)-N,N'-ethylenebis(L-amino acid) complexes as new chiral NMR lanthanide shift reagents for unprotected α-amino acids in neutral aqueous solution
AUTHOR(S): Takemura, Makoto; Yamato, Kazuhiro; Doe, Matsumi; Watanabe, Masaaki; Miyake, Hiroyuki; Kikunaga, Toshimitsu; Yanagihara, Naohisa; Kojima, Yoshitane
CORPORATE SOURCE: Department of Chemistry, Graduate School of Science, Osaka City University, Sugimoto, Sumiyoshi-ku, Osaka, 558-8585, Japan
SOURCE: Bulletin of the Chemical Society of Japan (2001), 74(4), 707-715
CODEN: BCSJA8; ISSN: 0009-2673
PUBLISHER: Chemical Society of Japan
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 135:107553

AB Three N,N'-ethylenebis(L-amino acid) ligands have been obtained simultaneously with three α,α'-(1,4-piperazinediyl)bis[(S)- alkanoic acid] and four N,N'-ethylenedipeptide products, by reacting a mixture of L-histidine Me ester and L-aspartic acid di-Me ester with glyoxal in the presence of sodium cyanotrihydroborate in methanol. Europium(III) complexes with N,N'-ethylenebis(L-amino acid) ligands were useful as chiral NMR shift reagents for some unprotected natural α-amino acids as substrates in neutral aqueous solution, as characterized by large enantiomeric shift differences and unbroadened signal shapes on high-resolution NMR spectroscopy. In addition, the acid-dissociation consts. of six bis(amino acid) ligands and the stability constant of the europium(III) complex with N,N'-ethylenedi(L-histidine) were obtained by potentiometric titration

IT 350483-05-5P
RL: PRP (Properties); SPN (Synthetic preparation); PREP (Preparation)
(preparation of Europium complexes of for use as lanthanide shift reagents in aqueous solution)
RN 350483-05-5 CAPLUS
CN 1,3-Piperazinediacetic acid, α1-(1H-imidazol-4-ylmethyl)-2-oxo-, dimethyl ester, (α1S,3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 33 THERE ARE 33 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 32 OF 34 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1955:60512 CAPLUS Full-text

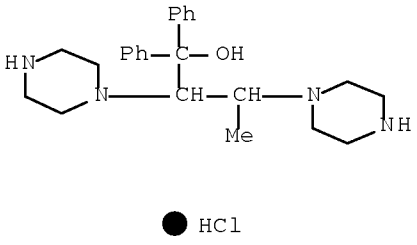
DOCUMENT NUMBER: 49:60512
ORIGINAL REFERENCE NO.: 49:11647a-e
TITLE: Synthetic analgesics. V. Synthesis of β,γ-di-tert-amino butanol
AUTHOR(S): Sugimoto, Norio; Komiyama, Yasuyuki
SOURCE: Yakugaku Zasshi (1954), 74, 711-14
CODEN: YKKZAJ; ISSN: 0031-6903
DOCUMENT TYPE: Journal
LANGUAGE: Unavailable

AB cf. C.A. 49, 280h. BzCH:CHMe (I) (5 g.) and 8.7 g. iodine in 25 ml. absolute alc. at 3-5° treated with 14 g. dry piperidine dropwise, stirred 2 hrs. at 5° and the product recrystd. from MeOH gave 0.3 g. (2.8%) α,β-diperidinobutyrophenone (II), needles, m. 114-15°. I (20 g.) in CS2 with 23 g. Br gave 31 g. BzCHBrCHBrMe, m. 96-7°, 20 g. of which in alc. reacted with 22.5 g. piperidine to give 14.5 g. II. PhBr (12 g.) in 20 ml. dry Et2O added dropwise into 1.1 g. Li in 20 ml. Et2O, refluxed 2 hrs., cooled to -10° to -20°, 5.5 g. II in 100 ml. Et2O added dropwise, stirred 1.5 hrs., allowed to stand overnight, the product decomposed with ice water and recrystd. from alc. gave 5.8 g. 1,1-diphenyl-2,3-dipiperidino-1-butanol (III), m. 165-6°; HCl salt, m. 211-13° (decomposition). Similarly, PhLi and thienyllithium yielded 87% 1-phenyl-1-(2-thienyl)-2,3-dipiperidino-1-butanol (IV), plates, m. 134-4.5°; HCl salt, m. 197-9°. Morpholine (12 g.) and 8.5 g. MeCH:CBrCO2Et (V) in 35 ml. absolute alc. let stand several hrs. at room temperature, the solution neutralized with HCl, the alc. removed, the residue in 40 ml. ice water, acidified with HCl, washed with Et2O, the aqueous layer made alkaline with 30% NaOH, extracted with Et2O and distilled gave 9.5 g. (75.5%) Et α,β-di-morpholinobutyrate (VI), b4 116-17°; picrolonate, m. 166-8°. Similarly, 6 g. piperidine and 4.5 g. V yielded 77.5% Et α,β-dipiperidinobutyrate (VII), b8 155-8°; 14 g. each of Et2NH and V yielded 75% MeCHNMe2CHNMe2CO2Et (VIII), b5 89°; picrate, m. 147°. PhBr (9.1 g.), 0.8 g. Li, 4.9 g. thiophene, and 40 ml. Et2O reacted, the solution at -10 to -5° treated with 4.1 g. VII in 10 ml. Et2O dropwise, let stand overnight, the product decomposed with ice water, extracted with Me2CO and recrystd. from AcOEt yielded 70% 1,1-di-(2-thienyl)-2,3-dipiperidino-1-butanol, columns, m. 178-9°; similarly VI yielded 70% 1,1-di-(2-thienyl)-2,3-morpholino-1-butanol, columns, m. 144-5°; VIII yielded 75% 1,1-di-(2-thienyl)-2,3- bis(dimethylamino)-1-butanol, needles, m. 113-14°, and a substance, columns, m. 116-17°.

IT 853787-26-5P, Benzhydrol, α-(1,2-dipiperidinopropyl)-, hydrochloride
RL: PREP (Preparation)
(preparation of)

RN 853787-26-5 CAPLUS

CN Benzhydrol, α-(1,2-dipiperidinopropyl)-, hydrochloride (5CI) (CA INDEX NAME)



L4 ANSWER 33 OF 34 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1929:38396 CAPLUS Full-text
DOCUMENT NUMBER: 23:38396
ORIGINAL REFERENCE NO.: 23:4473a-i,4474a-f
TITLE: 10-Chloro-5,10-dihydrophenarsazine and its derivatives. IX. Synthesis of nitromethyldiphenylamine-6'-arsonic acids and their conversion into nitromethyl derivatives of 10-chloro-5,10-dihydrophenarsazine. Constitution of 10-chloro-5,10-dihydrophenarsazine
AUTHOR(S): Gibson, Charles S.; Johnson, John D. A.
SOURCE: Journal of the Chemical Society (1929) 1229-62
CODEN: JCSOA9; ISSN: 0368-1769
DOCUMENT TYPE: Journal
LANGUAGE: Unavailable
AB cf. C. A. 23, 3709. This is a study of the cyclization of diphenylamine-6'-arsonic acids in greater detail than has previously been reported, since, if the ring-formation process follows the course which has been suggested, all substituted acids having a NO2 or other strongly electroneg. group in the o-position to the :NH group should yield isolable dichloroarsines on reduction in the presence of HCl; further evidence regarding the constitution of the reduction product of 3-nitrodiphenylamine-6'- arsonic acid is also presented. 2-Bromo-3-nitrololuene, b2 135-6°, b22 157°, m. 41-2°, results in 67% yield from the 2-NH2 derivative through the diazo reaction. Notes are given on the preparation of the other 7 known isomers. 4,2-Me(H2N)C6H2AsO3H2, o-BrC6H4NO2, K2CO3, AmOH and a trace of Cu powder, boiled 5 h., give 66% of 2-nitro-3'-methyldiphenylamine-6'-arsonic acid (I), golden yellow, m. 215-7° (decomposition); the alkali salts give deep red solns.; the Mg salts form on boiling with magnesia mixture Reduction of I in a mixture of EtOH and HCl containing a trace of I with SO2 give 2-nitro-3'-methyldiphenylamine-6'-dichloroarsine, bright yellow, m. 129.5-30°; if the crude product is boiled in AcOH for 1.5 h., HCl is evolved and there results 72% of 10-chloro-4-nitro-7-methyl-5,10-dihydrophenarsazine, deep red, m. 201-2°, oxidized by H2O3in AcOH in 15 min. at 100° to 4-nitro-7-methylphenarsazinic acid, yellow, m. 300-3° (decomposition); the Na salt is orange; 4-NH2 derivative, needles which do not m. at 310°, by reduction with Fe(OH)2, which is further reduced by SO2 in EtOH-HCl to 10-chloro-4-amino-7-methyl-5,10-dihydrophenarsazine-HCl, grayish yellow, m. 216-20° (decomposition). 3-Nitro-3'- methyldiphenylamine-6'-arsonic acid, prepared like I from m- BrC6H4NO2, yellow, m. 191-2° (73% yield); reduction with SO2 in EtOH-HCl gives 10-chloro-1(or 3)-nitro-7-methyl-5,10-dihydrophenarazine, deep red, m. 253-5° (decomposition); in EtOH-HBr the 10-Br derivative, deep red, m. 248-50° (decomposition). p-Br-C6H4NO2 gives 89% of 4-nitro-3'- methyldiphenylamine-6'-arsonic acid, yellow, m. 276° (decomposition). 5,2-Me(H2N)C6H3AsO3H2 and o-BrC6H4NO3 give 65% of 2-nitro-4-methyldiphenylamine-6'-arsonic acid, deep bronze-yellow, m. 226-7°, decomps. 234°; the alkali salts give deep red solns. Reduction with SO2 in HCl gives an oily dichloroarsine which, boiled with AcOH for 2 h., gives 10-chloro-4-nitro-4-methyl-5,10-dihydrophenarsazine, red, m. 206°; oxidation with H2O2: gives 4-nitro-8-methylphenarsazinic acid, orange-yellow, decomps. 297-300°; the salts are characteristic: Na, bronze-yellow needles; NH4, deep red needles whose aqueous solution evolves NH3; Ba, red-dish yellow needles; Ca, orange-yellow needles; Mg, orange plates; salts of the heavy metals are amorphous. 2,6-Br(O2N)C6H3Me and o-H2NC6H4AsO3H2 give 68% of 3-nitro-2-methyldiphenylamine-6'-arsonic acid, pale yellow, m. 223-4° (decomposition); Na salt, pale yellow needles; NH4 salt, yellow; Ba and Ca salts, pale yellow. Reduction with SO2 in HCl gives 10-chloro-3-nitro-4-methyl-5,10-dihydrophenarsazine, yellow, m. 216.5°; 10-Br derivative, orange-yellow, m. 216.5°. Oxidation of the Cl derivative with H2O2 gives 3-nitro-4-methylphenarsazinic acid, pale yellow, does not m. 306°. 2,5-Br(O2N)C6H3Me

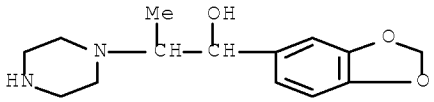
gives 73% of 4-nitro-2-methyldiphenylamine-6'-arsonic acid, pale yellow, m. 277° (decomposition); salts: Na, golden yellow needles; NH4, orange-yellow needles, giving a deep red aqueous solution; Ba, yellow plates; Ca, orange-yellow plates; Mg, orange, amorphous; Hg salts, yellow needles; Ag and Pb, yellow, SO2 in HCl gives 10-chloro-2-nitro-4-methyl-5, 10-dihydrophenarsazine, deep yellow, m. 303-5° (decomposition); 10-Br derivative, orange-yellow, m. 301-2° (decomposition); either derivative, oxidized with H2O2, gives 2-nitro-4-methylphenarsazinic acid, pale yellow, does not m. 306°; salts: NH4, yellow needles, whose. aqueous solution, on boiling, evolves NH3; Ba, pale yellow needles; Ca, orange-yellow prisms; Ag, yellow, amorphous; Mg, yellow prisms; Hg, pale yellow, amorphous; Pb, deep yellow, amorphous; K. golden yellow prisms, giving an orange-red concentrated or pale yellow dilute aqueous solution, changed to deep purple on addition of 25% KOH; Na, orange-yellow needles. 2,5-Br(O2N)C6H3Me gives 56% of 5-nitro-2-methyidiphenylamine-6'-arsonic acid, light yellow, m. 224-6° (decomposition); the alkali salts form deep red aqueous solns.; Ba salt, yellow plates; Ca salt, bright yellow needles; Hg++ salt, yellow needles; Mg salt, colorless. Reduction with SO2 in HCl gives 5-nitro-2-methyldiphenylamine-6'-dichloroarsine, bright yellow, m. 173°, which gives in boiling AcOH 10-chloro-1-nitro-4-methyl- 5,10-dihydrophenarsazine, deep red, m. 258-60°; the corresponding dibromoarsine and 10-Br derivative yellow, m. 164° and deep red, decomps. 272°. Oxidation of the Cl derivative with H2O2 gives 1-nitro-4-methylphenarsazinic acid, orange-yellow, darkens 295° but does not m. 305°. 2,3-Br(O2N)C6H3Me gives 35% of 2-nitro-6-methyldiphenylamine-6'-arsonic acid, golden yellow, m. 195-7°; the salts are yellow to orange-yellow; reduction gives 2-nitro-6-methyldiphenylamine-6'-dichloroarsine, orange-yellow, m. 104-5°; the dibromoarsine, bronze-orange, m. 97-8°. 4,3-Br(O2N)C6H3Me gives 2-nitro-4-methyldiphenylamine-6'-arsonic acid, golden yellow, m. 227-9° (decomposition); the 6'-dichloroarsine, orange-yellow, m. 91-3°; 10-chloro-4-nitro-2-methyl-5,10- dihydrophenarsazine, deep red, m. 187-8°; 10-Br derivative, deep crimson, m. 186-8°; 4-nitro-2-methylphenylarsazinic acid, yellow, decomps. 305°; the Ba salt, deep yellow needles, and the Ca salt, plates, are characteristic. 3,5-Br(O2N)C6H3Me gives 88% of 5-nitro-3-methyldiphenylamine-6'-arsonic acid, pale yellow, m. 228-30° (decomposition); salts: Ca, yellow needles; Ba, yellow plates; Na, deep reddish brown; Mg, yellow needles. Reduction with SO2 gives 10-chloro-1(or 3)-nitro-3(or 1)-methyl-5,10-dihydrophenarsazine, orange, decomps. 245-7°; 10-Br derivative, red, m. 237-42°. 1(or 3)-Nitro-3(or 1)-methylphenarsazinic acid, yellow, does not m. 300°. 4,2-Br(O2N)C6H3Me gives 3-nitro-4-methyidiphenylamine-6'- arsonic acid, bright yellow, m. 165-6°, isolated through the Ba salt, golden yellow plates with 6 H2O. Reduction with SO2 gives a mixture of 10-chloro-2-methyl-3-nitro- and 10-chloro-1-nitro-2-methyl-5,10- dihydrophenarsazine, orange-yellow, m. 257-8° (decomposition) and bright red, m. 225-6° (decomposition); the oxidation products, 1. and 3-nitro-2-methylphenarsazinic acids, yellow, do not m. 297°, could not be distinguished from each other. 3,6-Br(O2N)C6H3Me gives 86% of 4-nitro-3-methdiphenylamine-6'-arsonic acid, pale yellow, decomps. 200°; reduction gives 10-chloro-2-nitro-1(or 3)-Methyl-5,10-dihydroplenarsazine, orange-yellow, m. 236-8° (decomposition), oxidized to 2-nitro-1(or 3)-methylphenarsazinic acid, yellow, does not m. 308°; Na salt, crimson needles. The following general conclusions may be drawn from the above and earlier work: All substituted nitrodiphenylamine-6'-arsonic acids (II) in which the NO2 group is in the o-position to the :NH group, on reduction in the presence of HCl, yield dichloroarsines; all II in which the NO2 group is in the p-position to the NH group on reduction yield the corresponding cyclic Cl compound; this is also true of all II in which the NO2 group is in the m-position to the NH group, with the exception of the 5-nitro-2-Me derivative All substituted 10-chloro-4-nitro-5,10-dihydrophenarsazines are crimson, have lower m. ps. than other nitro-10-chloro derivs. and are volatile under diminished pressure at the ordinary temperature All substituted 10-chloro-2-nitro derivs. are yellow, are soluble with difficulty

in the usual solvents and generally have very high m. ps. There is a greater tendency for ring closure in the case of Br compds. than in the case of the Cl compds.

IT 858850-74-5P, 1-Piperazineethanol, β-methyl-α-(3,4-methylenedioxyphenyl)-
RL: PREP (Preparation)
(preparation of)

RN 858850-74-5 CAPLUS

CN 1-Piperazineethanol, β-methyl-α-(3,4-methylenedioxyphenyl)-(3CI) (CA INDEX NAME)



L4 ANSWER 34 OF 34 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1929:38395 CAPLUS Full-text

DOCUMENT NUMBER: 23:38395

ORIGINAL REFERENCE NO.: 23:4472i,4473a

TITLE: The action of piperazine upon the oxide of isosafrole

AUTHOR(S): Kusner, T. S.

SOURCE: Ukrains'kii Khemichnii Zhurnal (1929), 4(Sci. Pt.), 85-8
CODEN: UKHZAS; ISSN: 0372-4190

DOCUMENT TYPE: Journal

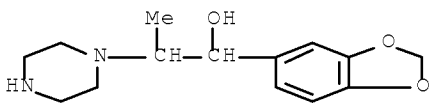
LANGUAGE: Unavailable

AB cf. C. A. 23, 2162. The piperazine (I) derivative of isosafrole oxide (II), CH2O2C6H3CH(OH)CH(CH3)N(CH2)4NH, was prepared when 5.3 g. of I in 10 g. of alc. and 11 g. of II in 10 g. of alc. were allowed to stand at room temperature for 28 hrs., the ppts. being removed every 2 days for 10 days, then after 4, 6 and 8 days; yield, 10.7 g. of a white powder, insol, in organic solvents, m. 238-40° (decomposition). The HCl salt was formed on boiling the base in H2O and adding HCl until dissolved.

IT 858850-74-5P, 1-Propanol, 1-(3,4-methylenedioxyphenyl)-2-(1-piperazyl)-
RL: PREP (Preparation)
(preparation of)

RN 858850-74-5 CAPLUS

CN 1-Piperazineethanol, β-methyl-α-(3,4-methylenedioxyphenyl)-(3CI) (CA INDEX NAME)



=> d his

```
     (FILE 'HOME' ENTERED AT 14:50:31 ON 30 JAN 2008)

     FILE 'REGISTRY' ENTERED AT 14:50:55 ON 30 JAN 2008
L1             STRUCTURE UPLOADED
L2          477 S L1 FULL

     FILE 'CAPLUS' ENTERED AT 14:51:40 ON 30 JAN 2008
L3           66 S L2 FULL
L4           34 S L3 AND PY<2005

=> log y
COST IN U.S. DOLLARS                                SINCE FILE      TOTAL
                                                         ENTRY    SESSION
FULL ESTIMATED COST                                     189.34     367.91

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)          SINCE FILE      TOTAL
                                                         ENTRY    SESSION
CA SUBSCRIBER PRICE                                     -27.20     -27.20

STN INTERNATIONAL LOGOFF AT 14:53:53 ON 30 JAN 2008
```